Jiang, S.
09/703753


```
     FILE 'REGISTRY' ENTERED AT 14:25:52 ON 29 MAR 2001
                  E ETHANOL/CN
L1                3 S (ETHANOL OR "2-PROPANOL" OR "N-PROPANOL")/CN
L2                1 S ISOPROPYL MYRISTATE/CN
                  E TESTOSTERONE/CN
L3                1 S E3
                  E CARBOPOL/CN
L4               93 S CARBOPOL ?/CN

     FILE 'CAPLUS' ENTERED AT 14:26:58 ON 29 MAR 2001
L1                3 SEA FILE=REGISTRY ABB=ON  PLU=ON  (ETHANOL OR "2-PROPANOL
                    " OR "N-PROPANOL")/CN
L2                1 SEA FILE=REGISTRY ABB=ON  PLU=ON  ISOPROPYL MYRISTATE/CN

L3                1 SEA FILE=REGISTRY ABB=ON  PLU=ON  TESTOSTERONE/CN
L4               93 SEA FILE=REGISTRY ABB=ON  PLU=ON  CARBOPOL ?/CN
L5           205155 SEA FILE=CAPLUS ABB=ON  PLU=ON  L1 OR ETHANOL OR (ET OR
                    ETHYL)(W)ALCOHOL OR (2 OR N)(W)PROPANOL
L6              390 SEA FILE=CAPLUS ABB=ON  PLU=ON  (2 OR N)(W)PROPYL
                    ALCOHOL
L7             2617 SEA FILE=CAPLUS ABB=ON  PLU=ON  (L5 OR L6 OR ALCOHOL)
                    AND (L2 OR ENHANCER OR (ISOPROPYL OR (ISO OR I)(W)(PROPYL
                     OR PR))(W)MYRISTATE)
L8              127 SEA FILE=CAPLUS ABB=ON  PLU=ON  L7 AND (L3 OR STEROID?
                    OR TESTOSTERONE)
L9                8 SEA FILE=CAPLUS ABB=ON  PLU=ON  L8 AND (L4 OR THICKEN?
                    OR CARBOPOL)

=> d 1-8 .bevstr

L9   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2001 ACS
ACCESSION NUMBER:         2001:131163  CAPLUS
DOCUMENT NUMBER:          134:168379
TITLE:                    Preparation of time-specific controlled-release
                          capsule formulations containing a swellable
                          polymeric coating layers
INVENTOR(S):              Busetti, Cesare; Crimella, Tiziano
PATENT ASSIGNEE(S):       Italy
SOURCE:                   U.S., 11 pp., Cont.-in-part of U.S. 5,891,474.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
     ---------------   ----  --------       ---------------   --------
     US 6190692         B1   20010220       US 1997-991814    19971216
     US 5891474         A    19990406       US 1997-790530    19970129
                          Searcher    :      Shears    308-4994
```

PRIORITY APPLN. INFO.: US 1997-790530 19970129

AB The time-specific controlled-release capsule formulations comprise (a) a core contg. a liq. form of a pharmaceutically active agent to be delivered, and (b) a swellable polymeric coating layer substantially surrounding the core. The swellable polymeric coating layer delays the release of the pharmaceutically active agent from the core for a predetd. period of time dependent upon the thickness of the swellable polymeric coating layer. The swellable polymeric coating layer surrounding the core is provided by a new method which includes alternately (i) wetting the core with a binder soln., and (ii) coating the core with powd. polymeric particles a sufficient no. of times to produce a time-specific dosage formulation having the desired thickness of swellable polymeric coating layer. For example, 40 mg of verapamil HCl, 129 mg of dibasic calcium phosphate dihydrate, 20 mg of microcryst. cellulose, and 10 mg of sodium starch glycolate, were mixed thoroughly. Magnesium stearate (1 mg) is added and thoroughly mixed for another 5 min. The granular mixt. is formed into tablet cores of 6.8 mm diam., weighing 200 mg each using a rotary tablet press. The cores show a disintegration time lower than 5 min. in water, a Schleuninger hardness higher than 10 kp and a friability lower than 0.1 %. The cores are heated to 400.degree. and the coating layer is applied onto the cores in a two-step procedure, using an automatic coating pan. In the first step, the cores are wetted with a binder soln. contg. 5% Methocel E5, 10% polyvinylpyrrolidone, and 85% purified water. In the second step, the wetted cores were treated with a dry mixt. including 90% Methocel K15M, 9% talc and 1% colloidal silica. Steps 1 and 2 are repeated until a wt. gain corresponding to 50% of total tablet wt. is achieved. The coated tablets showed a dissoln. time lag in excess of 300 min., followed by a quick disintegration of the tablet.

IT **64-17-5**, **Ethyl alcohol**, biological studies **110-27-0**, **Isopropyl myristate** **9003-01-4**, Poly(acrylic acid)
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of time-specific controlled-release capsules comprising drug-contg. core and swellable polymeric coatings)

REFERENCE COUNT: 64

REFERENCE(S):
(1) Abramowitz; US 5536507 1996 CAPLUS
(2) Anon; EP 0305918 1988 CAPLUS
(3) Anon; EP 0366621 1989 CAPLUS
(4) Anon; EP 0453001 1991 CAPLUS
(5) Anon; EP 572942 1993 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:756375 CAPLUS

DOCUMENT NUMBER: 133:313662

TITLE: Transdermal therapeutic system with neutralized

acrylate skin adhesives
INVENTOR(S): Bracht, Stefan
PATENT ASSIGNEE(S): Lts Lohmann Therapie-Systeme Ag, Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19918106	A1	20001026	DE 1999-19918106	19990422
WO 2000064418	A2	20001102	WO 2000-EP3112	20000407

W: AU, BR, CA, CN, CZ, HU, IL, IN, JP, KR, MX, NZ, PL, RU, TR, US, ZA
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: DE 1999-19918106 19990422

AB A transdermal matrix or a reservoir therapeutic system consists of at least 1 basic or neutral drug, and a skin adhesive polymer contg. acrylic methacrylic acid units. Thus, a transdermal therapeutic system consists of a drug, e.g., tulobuterol (5%) based on a polyacrylate matrix.

IT **9003-01-4**, Poly(acrylic acid)
RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(crosslinked; transdermal therapeutic system with neutralized acrylate skin adhesives)

IT **58-22-0**, **Testosterone**
RL: BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(transdermal therapeutic system with neutralized acrylate skin adhesives)

IT **110-27-0**, **Isopropyl myristate**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transdermal therapeutic system with neutralized acrylate skin adhesives)

REFERENCE COUNT: 8
REFERENCE(S):
(1) Anon; EP 0387751 A2 CAPLUS
(2) Anon; DE 19653605 A1 CAPLUS
(3) Anon; DE 19728516 A1 CAPLUS
(4) Anon; DD 279611 A1 CAPLUS
(5) Anon; DE 4310012 A1 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:511009 CAPLUS
DOCUMENT NUMBER: 131:149308

TITLE: Pharmaceutical emulsion for transdermal administration of **steroids** and antihormones
INVENTOR(S): Conduzorgues, Jean-Pascal; Sincholle, Daniel; Muguet, Valerie
PATENT ASSIGNEE(S): Centre De Recherche D'innovation Et De Developpement, Fr.
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9939695	A1	19990812	WO 1999-FR257	19990205
W: CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2774595	A1	19990813	FR 1998-1433	19980206
PRIORITY APPLN. INFO.:			FR 1998-1433	19980206

AB The invention concerns a compn. for the transdermal administration of an active principle selected among **steroids** and antihormones, which is obtained by mixing, with an oil-in-water emulsion consisting of: (a) 10 to 45 wt.% of an oil phase; (b) 10 to 45 wt.% of a gelled aq. phase; (c) 2 to 10 wt.% of an emulsifier; from 0.1 to 10 wt.% relative to the emulsion wt. of the **steroid** or antihormone soln. in a solvent selected among ethers. A transdermal pharmaceutical compn. contained 17.beta.-estradiol 0.06, solketal 4, liq. paraffin 10, isohexadecane 10, cyclomethicone 2, cetyl **alc.** 0.5, Polysorbate-60 3.5, sorbitan monostearate 1.5, **iso-Pr myristate** 13, Me parahydroxybenzoate 0.10, Pr parahydroxybenzoate 0.05, **Carbopol** 974-P 0.5, 10% sodium hydroxide soln. propylene glycol 2, and water q.s. 100%.

IT **110-27-0, Isopropyl myristate**
RL: BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical emulsion for transdermal administration of **steroids** and antihormones)

REFERENCE COUNT: 3
REFERENCE(S):
(1) Lederle Japan Ltd; JP 06256218 A 1994 CAPLUS
(2) Schering, A; WO 9522322 A 1995 CAPLUS
(3) Sekisui Chem Ind Co Ltd; JP 07010759 A 1995 CAPLUS

L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:325798 CAPLUS

DOCUMENT NUMBER: 130:343027
TITLE: Penetration enhancing and irritation reducing topical formulations
INVENTOR(S): Mak, Vivien H. W.; Grayson, Stephen
PATENT ASSIGNEE(S): Cellegy Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924041	A1	19990520	WO 1998-US23750	19981109
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9913132	A1	19990531	AU 1999-13132	19981109
EP 1030668	A1	20000830	EP 1998-956663	19981109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9814014	A	20000926	BR 1998-14014	19981109
PRIORITY APPLN. INFO.:			US 1997-64980	19971110
			WO 1998-US23750	19981109

AB This invention lies in the technol. of transdermal and topical drug delivery. In particular, the present invention relates to enhancement of the penetration of transdermally or topically applied drugs and with the redn. of skin irritation that often accompanies transdermal and topical drug delivery. Thus a gel was obtained from EtOH 0.1-50, propylene glycol 0.1-50, iso-PrOH 0.1-50% oleic acid 0.1-50, gelling agent 0.01-50%, addnl. irritation reducers 0.1-50, preservatives 0-0.1 (the formulation may be self-preserving) and drug 0% to satn.

IT **58-22-0**, **Testosterone** **64-17-5**, **Ethanol**, biological studies **67-63-0**, Isopropanol, biological studies **71-23-8**, Propanol, biological studies **76050-42-5**, **Carbopol** 940 **96827-24-6**, **Carbopol** 1342
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(penetration enhancing and irritation reducing topical formulations)

REFERENCE COUNT: 2

Searcher : Shears 308-4994

REFERENCE(S): (1) Patel; US 4863970 A 1989 CAPLUS
(2) Thornfeldt; US 5760096 A 1998 CAPLUS

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:297228 CAPLUS
DOCUMENT NUMBER: 130:342991
TITLE: Transdermal patch comprising a combination of two or more fatty acids or **alcohols** as permeation **enhancers**
INVENTOR(S): Carrara, Dario
PATENT ASSIGNEE(S): Permatec Technologie AG, Switz.
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 913158	A1	19990506	EP 1998-117469	19980915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ZA 9808421	A	19990317	ZA 1998-8421	19980915
AU 9884230	A1	19990401	AU 1998-84230	19980915
JP 11152224	A2	19990608	JP 1998-263649	19980917
PRIORITY APPLN. INFO.:			IT 1997-MI2106	19970917

AB A patch for transdermal administration of drugs through controlled release system, consisting essentially of: (A) a flexible backing layer; (B) an adhesive layer comprising: an adhesive pressure sensitive adhesive polymeric matrix, a cohesion improver, a tackifier agent, a combination of permeation **enhancers** consisting of a first component which is a satd. fatty acid or fatty **alc**. represented by the formula CH3-(CH2)n-COOH or CH3-(CH2)n-CH2OH resp., in which n is an integer from 6 to 16, and of a second component which is a monounsatd. fatty acid or fatty **alc**. represented by the formula CH3 -(CnH2(n-1))-COOH or CH3-(CnH2(n-1))-CH2OH resp., in which n is an integer from 8 to 22, with the provision that the chain length of the first component is different from that of the second component, (C) a protective liner, which is removed at the moment of use. An adhesive matrix contained alprazolam (I) 7.364, oleic acid 5.846, Et cellulose 0.491, Foral 105-E 9.809, Duro Tak 87-2852 76.454, BTH 0.030, and BHA 0.005%. The in vitro release of I was studied.

IT **58-22-0**, **Testosterone 9003-01-4**, Acrylic acid polymers
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transdermal patch comprising combination of two or more fatty acids or **alcs**. as permeation **enhancers**)

REFERENCE COUNT: 7
REFERENCE(S):
(1) Cygnus Therapeutic Systems; WO 9312744 A 1993
(2) Du Pont; EP 0171742 A 1986 CAPLUS
(3) Hoffmann La Roche; WO 9529678 A 1995 CAPLUS
(4) Kalbitz, J; PHARMAZIE 1996, V51(9), P619 CAPLUS
(6) Riker Laboratories Inc; EP 0376534 A 1990 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:372273 CAPLUS
DOCUMENT NUMBER: 126:347323
TITLE: Buccal delivery of glucagon-like insulinotropic peptides (GLPs)
INVENTOR(S): Heiber, Sonia J.; Ebert, Charles D.; Gutniak, Mark K.
PATENT ASSIGNEE(S): Theratech, Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9715296	A1	19970501	WO 1996-US16890	19961022
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
US 5766620	A	19980616	US 1995-553807	19951023
CA 2235369	AA	19970501	CA 1996-2235369	19961022
AU 9674647	A1	19970515	AU 1996-74647	19961022
AU 716038	B2	20000217		
EP 859606	A1	19980826	EP 1996-936815	19961022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1202820	A	19981223	CN 1996-198618	19961022
BR 9611139	A	19990406	BR 1996-11139	19961022
JP 11513982	T2	19991130	JP 1996-516712	19961022
US 5863555	A	19990126	US 1997-964731	19971105
PRIORITY APPLN. INFO.:			US 1995-553807	19951023
			WO 1996-US16890	19961022

AB Drug delivery systems for administering a GLP to the buccal mucosa for transmucosal drug delivery comprise a drug compn. contg. effective amts. of the GLP and a permeation **enhancer**, and means for maintaining the drug compn. in a drug-transferring relation with the buccal mucosa. These systems can be in free form, such as creams, gels, and ointments, or can comprise a device of detd. phys. form, such as tablets, patches, and troches. A preferred GLP is GLP-1(7-36) amide. Thus, a gingival bilayer tablet was prepd. comprising an active layer and an adhesive layer. The adhesive layer was prepd. by mixing polyethylene oxide 70, **Carbopol** 934P 20, and compressible xylitol/CM-cellulose filler 10 wt. parts, granulating with EtOH, sieving, drying, mixing with stearic acid 0.25 and mint flavor 0.06 wt.%, and compression. To prep. the active layer, mannitol 49.39, hydroxypropylcellulose 34.33, and Na taurocholate 15.00 wt.% were mixed, granulated with EtOH, sieved, dried, combined with GLP-1(7-36) amide 0.91, FD&C Yellow No. 6HT 0.06, Mg stearate 0.25, and mint flavor 0.06 wt.%; 50 mg of this mixt. was compressed onto 50 mg adhesive layer.

IT **110-27-0, Isopropyl myristate**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(permeation **enhancer**; buccal delivery of glucagon-like insulinotropic peptides)

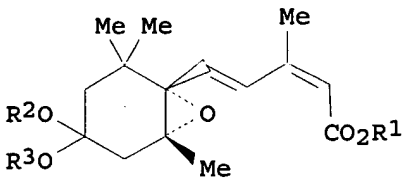
L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:340830 CAPLUS
DOCUMENT NUMBER: 125:11171
TITLE: Preparation of epoxycyclohexane derivatives as plant growth regulators
INVENTOR(S): Sakai, Kunikazu; Kamuro, Yasuo; Takatsuto, Suguru; Watanabe, Tsuyoshi; Kuriyama, Hiroki
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan; Tama Biochemical Co., Ltd.; Bal Planning Co., Ltd.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9608481	A1	19960321	WO 1995-JP1816	19950913
W: AU, BR, CA, CN, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 08081453	A2	19960326	JP 1994-244863	19940914
JP 08081310	A2	19960326	JP 1994-244937	19940914
CA 2199959	AA	19960321	CA 1995-2199959	19950913
AU 9534845	A1	19960329	AU 1995-34845	19950913

CN 1174552	A	19980225	CN 1995-196087	19950913
RU 2126396	C1	19990220	RU 1997-105767	19950913
US 5801123	A	19980901	US 1997-809051	19970313
US 5965488	A	19991012	US 1998-66805	19980424
PRIORITY APPLN. INFO.:			JP 1994-244863	19940914
			JP 1994-244937	19940914
			WO 1995-JP1816	19950913
			US 1997-809051	19970313

OTHER SOURCE(S): MARPAT 125:11171

GI



I

AB Plant growth regulators contg. an epoxycyclohexane deriv. represented by general formula I and another plant growth regulator contg. both the epoxycyclohexane deriv. and a brassinosteroid as the active ingredient are claimed. In said formula, R1 represents hydrogen, C1-C6 alkyl or C3-C6 cycloalkyl; and R2 and R3 either represent each independently C1-C6 alkyl or are combined together to represent C2-C3 polymethylene that may be substituted by C1-C6 alkyl. Thus, I [R1 = H, R2R3 = CH2CH2] was esterified with Pr **alc**. to give the title compd. I [R1 = propyl], which at 10 ppm effected a 115.2% growth in mung bean compared with 100% for the control. The title compds. I have a potent plant growth regulating effect equiv. or superior to that of abscisic acid and is useful as a plant growth regulator, e.g., plant growth accelerator, germination accelerator, transpiration/wilting inhibitor, cold resistance **enhancer**, and accelerator for the growth, **thickening** or ripening of fruits, roots, stems or bulbs. The combination of the deriv. with the **steroid** produces a synergistic effect.

IT **64-17-5**, **Ethanol**, reactions **67-63-0**, **2-Propanol**, reactions **71-23-8**, 1-Propanol, reactions
RL: RCT (Reactant)
(epoxycyclohexane derivs. and plant growth regulators)

L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1983:132152 CAPLUS
DOCUMENT NUMBER: 98:132152
TITLE: Sensitive-skin care regime

INVENTOR(S): Flom, Merlyn G.; Herrold, Anne M.; Martin, Joe O.; Mentlik, Anton A.; Warrick, Patricia P.
PATENT ASSIGNEE(S): Lilly, Eli, and Co. , USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4368187	A	19830111	US 1981-289658	19810803
AU 8178264	A1	19830210	AU 1981-78264	19811204
AU 553483	B2	19860717		
CA 1239588	A1	19880726	CA 1981-391499	19811204

PRIORITY APPLN. INFO.: US 1981-289658 19810803

AB Sensitive skin is treated without causing irritation with a four component regime: a cleanser, a toner, a moisturizer and a cream. Formulations for the 4 components were given.

IT **110-27-0 9003-01-4**
RL: BIOL (Biological study)
(cosmetics contg., for sensitive skin)

(FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 14:39:38 ON 29 MAR 2001)
L10 8 S L9
L11 8 DUP REM L10 (0 DUPLICATES REMOVED)

1 ANSWER 1 OF 8 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2001-138266 [14] WPIDS
DOC. NO. CPI: C2001-040766
TITLE: Drug composition for controlled release of a topically administered active agent e.g. a nematocide or local anesthetic, comprises the active agent, a water insoluble polymer and a water miscible solvent in which the polymer is soluble.
DERWENT CLASS: A96 B07 D21 D22
INVENTOR(S): FUKUMOTO, R; NOMURA, M; SHIMIZU, T; TATARA, M
PATENT ASSIGNEE(S): (SATO) SATO PHARM CO LTD; (SUNR) SUNTORY LTD
COUNTRY COUNT: 22
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001003742	A1	20010118	(200114)*	JA	28

RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE
W: CN JP KR US

Searcher : Shears 308-4994

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001003742 A1		WO 2000-JP4651	20000712

PRIORITY APPLN. INFO: JP 1999-198012 19990712
AN 2001-138266 [14] WPIDS
AB WO 200103742 A UPAB: 20010312
NOVELTY - Drug composition for topical administration comprises:
(1) an active agent;
(2) a water-insoluble polymer;
(3) a water-miscible solvent in which the polymer is soluble; and, optionally,
(4) other additives.
USE - As a drug composition for topical administration especially to the mouth cavity e.g. on the teeth.
ADVANTAGE - The composition remains in the region of application for a long period of time thus allowing the drug to be released topically at a controlled rate.
Dwg.0/4

L11 ANSWER 2 OF 8 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-549679 [50] WPIDS
DOC. NO. NON-CPI: N2000-406690
DOC. NO. CPI: C2000-164113
TITLE: Topical compositions containing the active substance in micro-droplets of water insoluble liquid; use for wide variety of pharmaceutical, medicinal, vitamin, and cosmetic materials.
DERWENT CLASS: A96 B07 D21 P34
INVENTOR(S): LULLA, A
PATENT ASSIGNEE(S): (AMAR-N) L'AMAR INT PVT LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
ZA 9907202	A	20000628	(200050)*		22

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
ZA 9907202	A	ZA 1999-7202	19991119

PRIORITY APPLN. INFO: ZA 1998-11693 19981221

AN 2000-549679 [50] WPIDS
AB ZA 9907202 A UPAB: 20001010
NOVELTY - Composition for topical application, which includes an active substance in the form of micro-droplets of water insoluble liquid.

MECHANISM OF ACTION - Due to the finely divided particulate nature of the active substance, enhanced dermal penetration is achieved.

USE - Uses for the composition are in the medicinal, pharmaceutical, and cosmetic areas, to obtain a topical and/or systemic effect. A wide variety of drugs are suggested; **steroids** including estrogens, non-**steroidal** antiinflammatories, antibiotics, antifungals, antivirals, antihistamines, antineoplastics, hypnotics and sedatives, anxiolytics, antidepressants, anticonvulsnts, antifungals, prostanoidb agonists and antagonists, analgesics, hormones, vitamins, essential fatty acids, retinoids and carotenes, and benzoyl peroxide.

ADVANTAGE - As stated in Mechanism of Action, enhanced penetration is achieved by the finer particles. It is emphasized that the composition is not like liposome or microemulsion compositions, as these require large amounts of surfactants, a disadvantage.

Dwg.0/0

L11 ANSWER 3 OF 8 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1999-288153 [24] WPIDS
DOC. NO. CPI: C1999-085184
TITLE: New alcoholic or aqueous alcoholic topical composition.
DERWENT CLASS: B07
INVENTOR(S): GYURIK, R J; KRAUSER, S F; SAMOUR, C M
PATENT ASSIGNEE(S): (MACR-N) MACROCHEM CORP
COUNTRY COUNT: 22
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9920257	A1	19990429	(199924)*	EN	31
RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE					
W: CA JP US					
US 5968919	A	19991019	(199950)		
EP 971705	A1	20000119	(200009)	EN	
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE

WO 9920257	A1	WO 1998-US20895	19981002
US 5968919	A	US 1997-953014	19971016
EP 971705	A1	EP 1998-952067	19981002
		WO 1998-US20895	19981002

FILING DETAILS:

PATENT NO	KIND		PATENT NO
EP 971705	A1	Based on	WO 9920257

PRIORITY APPLN. INFO: US 1997-953014 19971016
AN 1999-288153 [24] WPIDS
AB WO 9920257 A UPAB: 19990624
NOVELTY - An alcoholic or aqueous alcoholic topical composition for the transdermal delivery of a hormonally acitve drug is new.

DETAILED DESCRIPTION - The composition comprises, on a weight basis, of the total composition, hormonally active drug (about 0.1-10%), skin penetration **enhancer** comprising 7-14C hydrocarbyl substituted 1,3-dioxolane, 1,3-dioxane or acetal (about 2-20%), propylene glycol (about 0-25%), volatile **alcohol** selected from **ethanol** and isopropanol and mixtures thereof (about 35-70%), water (about 0-35%) and optionally, a gelling agent to **thicken** the composition to avoid or minimize run-off when applied to the skin.

ACTIVITY - None given.

MECHANISM OF ACTION - None given.

USE - The composition is useful for transdermal administration of a hormonally active drug. The vehicle comprises **ethanol**, isopropanol or a mixture of these with a 3-6C 1,2-alkyl diol and water in a ratio of **alcohol**/glycol/water of 50-80:5-20:5-40 and comprises about 70-90 weight% of the composition. The vehicle also comprises a skin penetration enhancing compound selected from 2-(7-14C hydrocarbyl)-1,3-dioxolane, 2-(7-14C hydrocarbyl)-1,3-dioxane and 7-14C hydrocarbyl substituted-acetal.

L11 ANSWER 4 OF 8 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1999-190445 [16] WPIDS
DOC. NO. CPI: C1999-055999
TITLE: Substantially neutral ibuprofen-containing alcoholic or aqueous alcoholic compositions - comprise ibuprofen salt, skin-penetration enhancing agent e.g. 2-n-nonyl-1,3-dioxolane, glycol e.g. propylene glycol, volatile **alcohol** e.g. **ethanol**, water, base and optional gelling agent.
DERWENT CLASS: B03 B05
INVENTOR(S): GYURIK, R J; KRAUSER, S F; SAMOUR, C M
PATENT ASSIGNEE(S): (MACR-N) MACROCHEM CORP

COUNTRY COUNT: 26
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9909954	A1	19990304	(199916)*	EN	66
RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE					
W: BR CA CN JP KR MX					
US 5976566	A	19991102	(199953)		
EP 1014942	A1	20000705	(200035)	EN	
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9909954	A1	WO 1998-US17523	19980825
US 5976566	A	US 1997-921057	19970829
EP 1014942	A1	EP 1998-943357	19980825
		WO 1998-US17523	19980825

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1014942	A1 Based on	WO 9909954

PRIORITY APPLN. INFO: US 1997-921057 19970829
AN 1999-190445 [16] WPIDS
AB WO 9909954 A UPAB: 19990424
NOVELTY - Substantially neutral ibuprofen-containing alcoholic or aqueous alcoholic compositions comprise skin-penetration enhancing agent for improved transdermal delivery. DETAILED DESCRIPTION - Compositions comprise (a) therapeutically effective amount of ibuprofen in the form of pharmaceutically acceptable salt; (b) skin-penetration enhancing effective amount of 7-14C hydrocarbyl-substituted 1,3-dioxolane, 1,3-dioxane or acetal; (c) 0-18% 3-6C glycol; (d) at least 40% volatile **alcohol** chosen from **ethanol** and/or propanol; (e) 0-40% water; (f) base to give pH 6-8; and optional gelling agent effective to **thicken** the composition to avoid or minimize run-off when applied to the skin. INDEPENDENT CLAIMS are also included for (1) substantially neutral alcoholic or aqueous alcoholic topical compositions effective for the transdermal delivery of non-**steroidal** anti-inflammatory drugs (NSAIDs) such as tolmetin, diclofenac, keterolac, arylpropionic acids (other than ibuprofen), anthranilic aci ds, enolic acids, alkanones, sulindac and etodolac; and (2) glycol-free topical compositions for transdermal administration of naproxen.

Searcher : Shears 308-4994

USE - Used for transdermal delivery of NSAIDs including ibuprofen, tolmetin, diclofenac, keterolac, arylpropionic acids, anthranilic acids, enolic acids, alkanones, sulindac, etodolac and naproxen (claimed). Provide topical, non-invasive application to the skin, particularly to the region where the NSAID is intended to exert its pharmacological activity, usually to a region of inflammation, injury or pain to the muscles or joints, or other forms of cutaneous disorders or disruption characterized by skin inflammation and/or hyperproliferative activity in the epidermis. Anti-inflammatory.

ADVANTAGE - The compositions are stable topical compositions effective for the transdermal delivery of ibuprofen or other NSAIDs. Use of 1,3-dioxolane, 1,3-dioxane or their corresponding acetal skin-penetration enhancing compounds substantially improves the flux rates and/or total delivery of NSAIDs. For naproxen, skin permeation is further enhanced by omission of glycol. The amount of propylene glycol may be varied to adjust the initial flux of NSAID through the skin. DESCRIPTION OF DRAWING(S) - Graph plotting flux of ibuprofen sodium in an in vitro study for an aqueous alcoholic gel containing 10 weight % 2-n-nonyl-1,3-dioxolane skin-penetration **enhancer** (v) and four commercial topical ibuprofen preparations: (A) Gelufene (RTM), (B) Deep Relief (TM), Ibutop (RTM) and Dolgit (RTM).

Dwg.3/17

L11 ANSWER 5 OF 8 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD

ACCESSION NUMBER: 1998-322463 [28] WPIDS

DOC. NO. CPI: C1998-099186

TITLE: Homogeneous liquid composition capable of percutaneous delivery of physiologically active agents - comprises a rate modulating polymer and a volatile solvent.

DERWENT CLASS: A96 B07

INVENTOR(S): DAVEY, G; TOMLINSON, R

PATENT ASSIGNEE(S): (SOLT-N) SOLTEC RES PTY LTD

COUNTRY COUNT: 81

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9823291	A1	19980604	(199828)*	EN	45
RW: AT BE CH DE DK EA ES FI FR GB GH GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG ZW					
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW					
ZA 9710560	A	19980826	(199840)		43
AU 9749367	A	19980622	(199844)		

Searcher : Shears 308-4994

NO 9902290 A 19990714 (199938)
EP 944398 A1 19990929 (199945) EN
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE
CZ 9901812 A3 19991013 (199949)
HU 9903792 A2 20000328 (200025)
SK 9900645 A3 20000612 (200036)
AU 723143 B 20000817 (200044)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9823291	A1	WO 1997-AU797	19971124
ZA 9710560	A	ZA 1997-10560	19971124
AU 9749367	A	AU 1997-49367	19971124
NO 9902290	A	WO 1997-AU797	19971124
		NO 1999-2290	19990511
EP 944398	A1	EP 1997-911978	19971124
		WO 1997-AU797	19971124
CZ 9901812	A3	WO 1997-AU797	19971124
		CZ 1999-1812	19971124
HU 9903792	A2	WO 1997-AU797	19971124
		HU 1999-3792	19971124
SK 9900645	A3	WO 1997-AU797	19971124
		SK 1999-645	19971124
AU 723143	B	AU 1997-49367	19971124

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9749367	A	Based on	WO 9823291
EP 944398	A1	Based on	WO 9823291
CZ 9901812	A3	Based on	WO 9823291
HU 9903792	A2	Based on	WO 9823291
AU 723143	B	Previous Publ.	AU 9749367
		Based on	WO 9823291

PRIORITY APPLN. INFO: AU 1996-3795 19961122
AN 1998-322463 [28] WPIDS
AB WO 9823291 A UPAB: 19981021
A homogeneous liquid composition capable of percutaneous delivery of physiologically active agents (PA) comprises a rate modulating polymer (RMP), a volatile solvent (VERSUS) and at least 1 PA, RMP being selected to enable modulation of the rate of delivery of PA, and optionally a **thickening** agent (TA) excluding ethyl cellulose.

The liquid composition further comprises a penetration **enhancer** (PE) and optionally a second polymer, preferably of

opposite water affinity to the first polymer (FP). The liquid composition further comprises a hydrophilic (HLP) and a hydrophobic polymer (HPP). Upon application to the skin the HPP or TA forms a continuous phase containing dispersed or dissolved HLP. Optionally the PA is contained in the continuous phase. Alternatively the composition is a dispersion and PA is contained in the dispersed phase upon application to the skin. HLP is a hydroxyalkyl cellulose, preferably hydroxypropyl cellulose and HPP is an octyl acrylamide or octyl propenamide acrylate copolymer. The TA is a polymer soluble in both **alcohol** and water, preferably a polymer of opposite water affinity to RMP.

USE - The composition is useful for percutaneous delivery of antimicrobial, antifungal or antiviral agents for treatment or prevention of diseases in humans or animals. The composition is typically useful for delivery of CNS drugs, nutritional agents, antiinflammatories, antihistamines, respiratory agents, sympathomimetics, amtimuscarinic or muscarinic cholinergic blocking agents, psychic energisers, antiinfectives, dermatological, humoral agents, antispasmodics, antidepressants, anorectics, antiallergenics, tranquillisers oestrogen's, androgenic **steroids**, cardioactive medicaments, antipsychotics, decongestants, antipyretics, antimigraine agents, drugs for treating nausea and vomiting, antimalarials, antiulcerative agents, peptides and proteins, antioestrogens and nucleotides.

ADVANTAGE - The compositions are non-occlusive, rate variable and effective for delivering and active agent via systemic, topical or local application. The active agents can be present in the composition in different forms depending on which form yields the optimum delivery characteristics. The system may also have wash resistance.

Dwg.0/5

L11 ANSWER 6 OF 8 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1998-075142 [07] WPIDS
DOC. NO. NON-CPI: N1998-060122
DOC. NO. CPI: C1998-024986
TITLE: Transdermal gel composition used e.g. for hormone replacement therapy - comprises oestrogen(s) and/or progestin(s) with aliphatic **alcohol** permeation **enhancers**.
DERWENT CLASS: A96 B01 P34
INVENTOR(S): CARRARA, D
PATENT ASSIGNEE(S): (PERM-N) PERMATEC NV
COUNTRY COUNT: 25
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
NZ 328021	A	19971124	(199807)*		47

AU 9724729	A	19971211 (199807)	
EP 811381	A1	19971210 (199807)	EN
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE			
ZA 9704981	A	19980325 (199819)	47
JP 10072351	A	19980317 (199821)	14
CA 2207144	A	19971206 (199824)	
KR 98000448	A	19980330 (199901)	
US 5891462	A	19990406 (199921)	
IT 1283102	B	19980407 (199953)	
AU 712465	B	19991104 (200003)	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
NZ 328021	A	NZ 1997-328021	19970605
AU 9724729	A	AU 1997-24729	19970605
EP 811381	A1	EP 1997-108989	19970604
ZA 9704981	A	ZA 1997-4981	19970605
JP 10072351	A	JP 1997-185695	19970605
CA 2207144	A	CA 1997-2207144	19970605
KR 98000448	A	KR 1997-23704	19970604
US 5891462	A	US 1997-869982	19970605
IT 1283102	B	IT 1996-MI1152	19960606
AU 712465	B	AU 1997-24729	19970605

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 712465	B	Previous Publ.	AU 9724729

PRIORITY APPLN. INFO: IT 1996-MI1152 19960606

AN 1998-075142 [07] WPIDS

AB NZ 328021 A UPAB: 19980216

A gel formulation for the transdermal administration of an active agent selected from oestrogens and/or progestins comprises: (i) the active agent(s); (ii) permeation **enhancers** consisting essentially of an aliphatic **alcohol** of formula $CH_3(CH_2)_nCH_2OH$ (where n = 8-16) and a monoalkylether of diethylene glycol; (iii) a vehicle or carrier comprising a 2-4C alkanol, a glycol and water; (iv) as gelling agent a polymer or copolymer of acrylic acid; and (v) a tertiary amine as a **thickening** and neutralising agent.

USE - The composition is useful for hormone replacement therapy (HRT) by transdermal route.

Daily dose of oestrogen based on 17- beta -oestradiol is 40-50 mcg/day and of progestin based on norethindrone acetate is 200-250 mcg/day.

ADVANTAGE - The permeation **enhancer** gives adequate permeation rates across the skin for various **steroid** compositions, providing sustained and controlled penetration rates. Dwg.0/3

L11 ANSWER 7 OF 8 BIOSIS COPYRIGHT 2001 BIOSIS
ACCESSION NUMBER: 1996:273722 BIOSIS
DOCUMENT NUMBER: PREV199698829851
TITLE: Enhancing effect of terpenes on the in vitro percutaneous absorption of diclofenac sodium.
AUTHOR(S): Arellano, A.; Santoyo, S.; Martin, C.; Ygartua, P.
CORPORATE SOURCE: Dep. Farm. Tecnol. Farmaceutica, Fac. Farmacia, Univ. Navarra, Apdo. 273, 31080 Pamplona Spain
SOURCE: International Journal of Pharmaceutics (Amsterdam), (1996) Vol. 130, No. 1, pp. 141-145. ISSN: 0378-5173.
DOCUMENT TYPE: Article
LANGUAGE: English
AB The enhancing effect of naturally occurring terpenes on the in vitro percutaneous absorption of diclofenac sodium (DFS) from **carbopol** gels containing propylene glycol was investigated. Permeation experiments were performed on excised abdominal rat skin. Terpenes varied in their activities: the **alcohol** terpenes were effective accelerants for the drug whereas the ketones were much less efficient, providing only a 2-to-3-fold increase in DFS diffusion; limonene showed mild accelerant activity and 1,8-cineole was a poor accelerant. Acyclic **alcohols** were found to be the best **enhancers** for DFS, being geraniol, with an almost 20-fold increase, the most outstanding penetration **enhancer** . However, although the addition of terpenes increased DFS flux, diffusional lag times were longer than for the control gel.

L11 ANSWER 8 OF 8 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1989-152357 [21] WPIDS
DOC. NO. CPI: C1989-067343
TITLE: Tipredane **steroid** ointment formulation - contg. propylene glycol, water and cetearyl **alcohol** and/or ceteareth 20 for enhanced chemical and physical stability.
DERWENT CLASS: A96 B01 B07
INVENTOR(S): OLAUGHLIN, R L; PANAGGIO, A; VARIA, S A
PATENT ASSIGNEE(S): (SQUI) SQUIBB & SONS INC E R
COUNTRY COUNT: 16
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
EP 316815	A	19890524	(198921)*	EN	

R: AT BE CH DE ES FR GB GR IT LI LU NL SE

JP 01153641 A 19890615 (198930)
US 4868168 A 19890919 (198947) 5
EP 316815 B1 19920527 (199222) EN 14
R: AT BE CH DE ES FR GB GR IT LI LU NL SE
DE 3871494 G 19920702 (199228)
ES 2034117 T3 19930401 (199323)
CA 1326448 C 19940125 (199409)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
EP 316815	A	EP 1988-118871	19881111
JP 01153641	A	JP 1988-286603	19881111
US 4868168	A	US 1987-120276	19871113
EP 316815	B1	EP 1988-118871	19881111
DE 3871494	G	DE 1988-3871494	19881111
		EP 1988-118871	19881111
ES 2034117	T3	EP 1988-118871	19881111
CA 1326448	C	CA 1988-579960	19881012

FILING DETAILS:

PATENT NO	KIND		PATENT NO
DE 3871494	G	Based on	EP 316815
ES 2034117	T3	Based on	EP 316815

PRIORITY APPLN. INFO: US 1987-120276 19871113
AN 1989-152357 [21] WPIDS
AB EP 316815 A UPAB: 19930923
A tipredane (I) ointment formulation having enhanced and an ointment base comprising on or more bilisers for (I) including propylene glycol, water, one or more dispersing agents for dispersing propylene glycol which includes cetearyl **alcohol** and/or ceteareth 20, at least one buffer to impart a neutral or slightly alkaline apparent pH, one or more emollients, one or more **thickeners**, opt. one or more lubricants and opt. one or more antioxidants.

The buffer may be e.g. sodium citrate, potassium citrate, Mg(OH)2, an alkali metal hydroxide or aluminium hydroxide, The **thickener** may be a non-acedic long chain fatty acid wax. The emollient may be a mixt. of polysynlane oil and mineral oil or cetyl **alcohol**, isopropyl isosearate, **isopropyl myristate**, isopropyl palmitate or octyl dodecyl stearate, the lubricant may be a silicone and the antioxidant may be sodium metabisulphite, butylacted hydroxytoluene, butylated hydroxyanisole, propyl gallate or sodium ascorbate.

USE/ADVANTAGE - (I) (see US4361559) is a higly effective

topical antiinflammatory agent. The ointment is used esp. for the treatment of dermatitis. The ointment has good chemical and physical stability even after prolonged storage at 5 deg.C, temp. and 40 deg.C.

ABEQ DE 3871494 G UPAB: 19930923

A tipredane (I) ointment formulation having enhanced and an ointment base comprising on or more bilisers for (I) including propylene glycol, water, one or more dispersing agents for dispersing propylene glycol which includes cetearyl **alcohol** and/or ceteareth 20, at least one buffer to impart a neutral or slightly alkaline apparent pH, one or more emollients, one or more **thickeners**, opt. one or more lubricants and opt. one or more antioxidants.

The buffer may be e.g. sodium citrate, potassium citrate, Mg(OH)2, an alkali metal hydroxide or aluminium hydroxide, The **thickener** may be a non-acedic long chain fatty acid wax. The emollient may be a mixt. of polysynlane oil and mineral oil or cetyl **alcohol**, isopropyl isosearate, **isopropyl myristate**, isopropyl palmitate or octyl dodecyl stearate, the lubricant may be a silicone and the antioxidant may be sodium metabisulphite, butylacted hydroxytoluene, butylated hydroxyanisole, propyl gallate or sodium ascorbate.

USE/ADVANTAGE - (I) (see US4361559) is a higly effective topical antiinflammatory agent. The ointment is used esp. for the treatment of dermatitis. The ointment has good chemical and physical stability even after prolonged storage at 5 deg.C, temp. and 40 deg.C.

ABEQ EP 316815 B UPAB: 19930923

A tipredane ointment formulation having enhanced chemical and physical stability comprising tipredane and an ointment base comprising one or more solubilisers for tipredane including propylene glycvol, water, one or more dispersing agents for dispersing propylene glycol which includes cetearyl **alcohol**, ceteareth 20 or a mixt. thereof, at least one buffer to impart a neutral or slightly alkaline apparent pH to the ointment formulation, one or more emollients, one or more **thickeners**, opt. one or more lubricants and opt. one or more antioxidants.

ABEQ US 4868168 A UPAB: 19930923

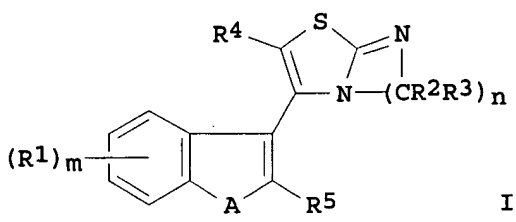
New chemically and physically stable tipredane ((11beta,17alpha)-17-(ethylthio)-9alpha-fluoro-11beta -hydroxy-17-(methylthio) androsta-1,4-dien-3-one) of formula (I), ointment compsn. comprises 0.005-0.5 pts. (I) and wax-gel base contg. 3-25% wt. solubilisers including propylene glycol; 0.1-15% water; 1-15% dispersing agents viz. cetearyl **alcohol**, cetereth 20; Na/K citrate/Mg (OH)2 buffer to pH 5-9; 25-95% emollients; 5-20% **thickeners** e.g. non-acidic long chain fatty acid wax; 0.5-6% lubricants; and opt. 0.01-1% antioxidants. Ointment is free of petroleum and mineral oil gelled with polyethylene.

ADVANTAGE - Avoids the coalescence and sepn. problems of

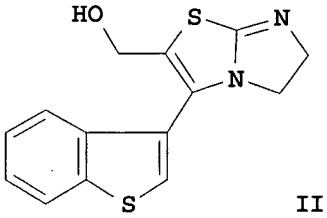
propylene glycol/Plastibase or petrolactum mixts.

```
     (FILE 'MEDLINE' ENTERED AT 14:45:08 ON 29 MAR 2001)
L12        42388 SEA FILE=MEDLINE ABB=ON  PLU=ON  ETHANOL/CT
L13          122 SEA FILE=MEDLINE ABB=ON  PLU=ON  2-PROPANOL/CT
L14           82 SEA FILE=MEDLINE ABB=ON  PLU=ON  PROPANOLS/CT
L15        38374 SEA FILE=MEDLINE ABB=ON  PLU=ON  TESTOSTERONE/CT
L16          312 SEA FILE=MEDLINE ABB=ON  PLU=ON  (L12 OR L13 OR L14) AND
                 L15
L17         6684 SEA FILE=MEDLINE ABB=ON  PLU=ON  IMPOTENCE/CT
L18            3 SEA FILE=MEDLINE ABB=ON  PLU=ON  L16 AND L17

=> d 1-3 .beverlymed

L18  ANSWER 1 OF 3  MEDLINE
AN   86141404     MEDLINE
TI   Alcohol and testosterone levels [letter].
AU   Barrett-Connor E
SO   JOURNAL OF THE AMERICAN GERIATRICS SOCIETY, (1986 Apr) 34 (4) 325-6.
     Journal code: H6V. ISSN: 0002-8614.

L18  ANSWER 2 OF 3  MEDLINE
AN   81028143     MEDLINE
TI   The alcoholic man - too much/too little.
AU   Morin R A
SO   JOURNAL OF PSYCHEDELIC DRUGS, (1980 Apr-Jun) 12 (2) 167-9.
     Journal code: J8R. ISSN: 0022-393X.

L18  ANSWER 3 OF 3  MEDLINE
AN   80178308     MEDLINE
TI   A review of alcohol's effects on sex and reproduction.
AU   Abel E L
SO   DRUG AND ALCOHOL DEPENDENCE, (1980 May) 5 (5) 321-32.  Ref: 80
     Journal code: EBS. ISSN: 0376-8716.
AB   Alcohol increases libido, inhibits sexual physiological responses
     and adversely affects reproductive processes in men and women. The
     mechanisms that underlie these effects are examined and the
     implications of these effects are discussed.

     FILE 'CAPLUS' ENTERED AT 14:56:20 ON 29 MAR 2001
L1             3 SEA FILE=REGISTRY ABB=ON  PLU=ON  (ETHANOL OR "2-PROPANOL
                 " OR "N-PROPANOL")/CN
L2             1 SEA FILE=REGISTRY ABB=ON  PLU=ON  ISOPROPYL MYRISTATE/CN

L5        205155 SEA FILE=CAPLUS ABB=ON  PLU=ON  L1 OR ETHANOL OR (ET OR
                 ETHYL)(W)ALCOHOL OR (2 OR N)(W)PROPANOL
L6           390 SEA FILE=CAPLUS ABB=ON  PLU=ON  (2 OR N)(W)PROPYL
                 ALCOHOL
L7          2617 SEA FILE=CAPLUS ABB=ON  PLU=ON  (L5 OR L6 OR ALCOHOL)
```

```
                AND (L2 OR ENHANCER OR (ISOPROPYL OR (ISO OR I)(W)(PROPYL
                 OR PR))(W)MYRISTATE)
L19          20 SEA FILE=CAPLUS ABB=ON  PLU=ON  L7 AND ((SEXUAL? OR
                ERECT?)(3A)(DYSFUNCT? OR DISORDER) OR IMPOTENC?)

=> s l19 not l9

L20          20 L19 NOT L9

=> d 1-20 .bevstr

L20  ANSWER 1 OF 20  CAPLUS  COPYRIGHT 2001 ACS
ACCESSION NUMBER:         2000:842140  CAPLUS
DOCUMENT NUMBER:          134:29416
TITLE:                    3-(Benzo[b]thiophen-3-yl)-5,6-dihydroimidazo[2,1-
                          b]thiazoles and related thiazolo derivatives,
                          useful as 5-HT1A agonists and noradrenaline
                          reuptake inhibitors, and pharmaceutical
                          compositions containing them
INVENTOR(S):              Brough, Paul Andrew; Cheetham, Sharon Crawford;
                          Kerrigan, Frank; Watts, John Paul
PATENT ASSIGNEE(S):       Knoll Aktiengesellschaft, Germany
SOURCE:                   PCT Int. Appl., 95 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     WO 2000071549      A1   20001130       WO 2000-EP4279   20000511
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                      GB 1999-11863    19990521
OTHER SOURCE(S):          MARPAT 134:29416
GI
```



I



II

AB Compds. of formula I, which are both 5-HT1A agonists and noradrenaline reuptake inhibitors, are disclosed [wherein: A = S or O; m = 0-4; n = 2-3; R1 = halo, (halo)alkyl, (halo)alkoxy, (halo)alkylthio, -sulfinyl, -sulfonyl, acyloxy, cyano, OH, alkanoyl, carbamoyl, etc.; R2, R3 = H; R4 = hydroxyalkyl, hydroxyalkenyl, hydroxyalkynyl, alkenyl, arylalkenyl, cycloalkyl, alkylthio, arylthio, alkanoyl, cyano, halo, alkylaminoalkyl, etc.; R5 = H, halo]. Also disclosed are processes to prep. I, compns. contg. I, and their use in the treatment of depression, anxiety, psychoses (for examples schizophrenia), tardive dyskinesia, obesity, drug addiction, drug abuse, cognitive disorders, Alzheimer's disease, cerebral ischemia, obsessive-compulsive behavior, panic attacks, social phobias, eating disorders such as bulimia, anorexia, snacking and binge eating, non-insulin dependent diabetes mellitus, hyperglycemia, hyperlipidemia, and stress, and their use in the treatment and/or prophylaxis of seizures, neurol. disorders such as epilepsy and/or conditions in which there is neurol. damage such as stroke, brain trauma, cerebral ischemia, head injuries and hemorrhage, and as an aid to smoking cessation. The compds. are particularly useful in treating obesity and related co-morbid conditions such as diabetes, hyperglycemia, and hyperlipidemia. I are more selective than known compds. of similar structure, having lower activity as monoamine oxidase inhibitors and muscarinic receptor ligands, which are likely to cause undesired side effects. It is also postulated that the 5-HT1A agonism of the compds. reduces the cardiovascular side effects of their monoamine reuptake inhibition, and this combined action (esp. in an antiobesity drug) is covered by claims. Over 50 synthetic examples are given. For instance, 3-(benzo[b]thiophen-3-yl)-5,6-dihydroimidazo[2,1-b]thiazole hydrobromide was neutralized, lithiated with BuLi, and formylated with DMF, followed by NaBH4 redn. of the resultant aldehyde, to give title compd. II. The latter compd. had Ki values (nM) as follows: 5-HT1A receptors 13, 5-HT uptake sites 398, NA uptake sites 3.7, and only 19% binding at muscarinic receptors at 10-6 M.

REFERENCE COUNT: 2
REFERENCE(S): (1) Knoll Ag; WO 9702269 A 1997 CAPLUS
(2) Knoll Ag; WO 9841528 A 1998 CAPLUS

L20 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:824132 CAPLUS
DOCUMENT NUMBER: 134:9362
TITLE: Topical compositions for prostaglandin E1 delivery
INVENTOR(S): Yeager, James L.; Buyuktimkin, Nadir; Buyuktimkin, Servet
PATENT ASSIGNEE(S): Nexmed Holdings, Inc., USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069469	A1	20001123	WO 1999-US10596	19990513
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9939891	A1	20001205	AU 1999-39891	19990513
PRIORITY APPLN. INFO.:			US 1997-954509	19971105
			WO 1999-US10596	19990513

OTHER SOURCE(S): MARPAT 134:9362

AB A compn. of a semi-solid consistency is provided for use in the manuf. of a topical medicament for the transdermal application of prostaglandin E1. The compn. comprises prostaglandin E1, a penetration **enhancer**, a polysaccharide gum, a lipophilic compd., and an acidic buffer system. The penetration **enhancer** is an alkyl-2-(N,N-disubstituted amino)-alkanoate ester, an(N,N-disubstituted amino)-alkanol alkanoate, or a mixt. of these. The lipophilic compd. may be an aliph. C1 to C8 **alc**., an aliph. C8 to C30 ester, or a mixt. of these. The compn. includes a buffer system capable of providing a buffered pH value for said compn. in the range of about 3 to about 7.4. The compn. is useful for the manuf. of medicaments for the treatment of **erectile dysfunction**, female **sexual dysfunction** and peripheral vascular disease. A topical compn. was prepd. contg. prostaglandin E1, **ethanol**, dodecyl 2-(N,N-dimethylamino)propionate, Et laurate, buffer, and locust bean gum.

Searcher : Shears 308-4994

IT **64-17-5, Ethanol**, biological studies
110-27-0, Isopropyl myristate
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical compns. for prostaglandin E1 delivery)
REFERENCE COUNT: 1
REFERENCE(S): (1) Nexmed Holdings; WO 9922714 A 1999 CAPLUS

L20 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:608551 CAPLUS
DOCUMENT NUMBER: 133:213151
TITLE: Pharmaceutical compositions and methods for improved delivery of hydrophobic therapeutic agents
INVENTOR(S): Patel, Manesh V.; Chen, Feng-Jing
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050007	A1	20000831	WO 2000-US165	20000105

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-258654 19990226
AB The present invention relates to triglyceride-free pharmaceutical compns. for delivery of hydrophobic therapeutic agents. Compns. of the present invention include a hydrophobic therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon diln. with an aq. solvent, the compn. forms a clear, aq. dispersion of the surfactants contg. the therapeutic agent. The invention also provides methods of treatment with hydrophobic therapeutic agents using these compns. A pharmaceutical compn. contained cyclosporin 0.14, Cremophor RH-40 0.41, Arlacel186 0.29, sodium taurocholate 0.26, and propylene glycol 0.46 mg.
IT **64-17-5, Ethanol**, biological studies
67-63-0, Isopropanol, biological studies **110-27-0**,

Isopropyl myristate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. and methods for improved delivery of hydrophobic therapeutic agents)

REFERENCE COUNT: 4
REFERENCE(S):
(1) Crooks; US 4572915 A 1986 CAPLUS
(2) Muller; US 4719239 A 1988 CAPLUS
(3) Schmidt; US 4727109 A 1988 CAPLUS
(4) Story; US 4944949 A 1990 CAPLUS

L20 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:573515 CAPLUS
DOCUMENT NUMBER: 133:182970
TITLE: Matrix controlled release device for a low-solubility drug
INVENTOR(S): Appel, Leah Elizabeth; Friesen, Dwayne Thomas; Curatolo, William John; Nightingale, James Alan Schriver; Thombre, Avinash Govind
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1027887	A2	20000816	EP 2000-300546	20000126
EP 1027887	A3	20010228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000229888	A2	20000822	JP 2000-33446	20000210
PRIORITY APPLN. INFO.:			US 1999-119400	19990210

AB Disclosed are a controlled release dosage form for a low soly. drug that is a spray-dried or spray-coated amorphous solid dispersion of the drug in an ionizable cellulosic polymer matrix that is in turn incorporated into a secondary erodible polymeric matrix and a method of treating a disease or disorder comprising administering such a dosage form. A batch of solid dispersion was prepd. by spray-drying a soln. contg. drug 5-chloro-1H-indole-2-carboxylic acid [(1S-benzyl-3-(3R,4S)-dihydroxypyrrolidin-1-yl)-(2R)-hydroxy-3-oxypropyl]amide (water soly. 80 .mu.g/mL) in acetone together with hydroxypropyl Me cellulose acetate succinate. The resulting solid dispersion was mixed with hydroxypropyl Me cellulose, lactose, and Mg stearate. The mixt. was finally compressed to give tablets.

L20 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:401637 CAPLUS

DOCUMENT NUMBER: 133:34453
TITLE: Prostaglandin-containing compositions and methods for amelioration of human female **sexual dysfunction**
INVENTOR(S): Yeager, James L.; Buyuktimkin, Nadir; Buyuktimkin, Servet
PATENT ASSIGNEE(S): Nexmed Holdings, Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033825	A2	20000615	WO 1999-US29471	19991210
WO 2000033825	A3	20001116		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1998-208965 19981210

AB The invention provides a compn. suitable for topical application comprising: an effective amt. of a prostaglandin or a vasoactive agent, a polymer thickener, a lipophilic component, and a buffer system. The invention also provides methods of ameliorating female **sexual dysfunction** and increasing female **sexual** arousal and methods of enhancing female sexual response.

IT **64-17-5**, **Ethanol**, biological studies
110-27-0, **Isopropyl myristate**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prostaglandin topical compns. for amelioration of human female **sexual dysfunction**)

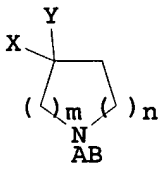
L20 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:335384 CAPLUS
DOCUMENT NUMBER: 132:347490
TITLE: Preparation of piperidines as ORL-1 receptor ligands.
INVENTOR(S): Barlocco, Daniela; Cignarella, Giorgio; Giardina, Guiseppe Arnaldo Maria; Grugni, Mario; Ronzoni, Silvano

PATENT ASSIGNEE(S): Smithkline Beecham Spa, Italy
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027815	A2	20000518	WO 1999-EP8706	19991110
WO 2000027815	A3	20001026		

W: CA, JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: IT 1998-MI2442 19981111
OTHER SOURCE(S): MARPAT 132:347490
GI



I

AB Title compds. [I; X, Y = H, (substituted) aryl; m, n = 0-3, provided that m and n are not both 0; A = bond, (CR1R2)p; p = 1-3; R1, R2 = H, halo, (substituted) alkyl, alkoxy; B = C4-8 (unsatd.) (substituted) ring], were prepd. Thus, 2,3-dihydro-2-[(4-phenylpiperidin-1-yl)carbonyl]-1H-indene was stirred with LiAlH4 in THF to give 2,3-dihydro-2-[(4-phenylpiperidin-1-yl)methyl]-1H-indene. The most potent I showed ORL-1 binding with Ki = 1-1000 nM.

L20 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:209867 CAPLUS
DOCUMENT NUMBER: 132:255983
TITLE: A pharmaceutical solution for the treatment of **erectile dysfunction**, prepared by self-emulsifying drug delivery system
INVENTOR(S): Lee, Sang Soon; Choi, Young Wook; Lee, Sang Kil; Park, Gee Bae
PATENT ASSIGNEE(S): Guju Pharm. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000016744	A1	20000330	WO 1999-KR568	19990921

W: CA, CN, DE, GB, JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: KR 1998-39462 19980923
KR 1999-34157 19990818

AB The present invention relates to a new soln. prepn. contg. prostaglandin E1 for the treatment of **erectile dysfunction**, which is prepd. into a form of microemulsion preconc. This prepn. has a property, upon administration to a human body, of easily emulsifying or dispersing of itself and is of a system called a self-emulsifying drug delivery system, which is prepd. by dispersing or dissolving a drug into a liq. mixt. of oil, surfactant and cosurfactant. Prostaglandin E1 in an amt. equiv. to 1,000 .mu.g in the final urethral soln. of 0.1 mL was dissolved with stirring into **ethanol** and/or benzyl **alc**. and then, to this soln., Cremophor ELP and Labrafac CC was added to obtain a microemulsion comprising Cremophor ELP 6, **ethanol** 1, benzyl **alc**. 1, and Labrafac CC 0.89 mL.

IT **64-17-5**, **Ethanol**, biological studies
67-63-0, Isopropyl **alcohol**, biological studies
110-27-0, **Isopropyl myristate**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical soln. for treatment of **erectile dysfunction**, prepd. by self-emulsifying drug delivery system)

REFERENCE COUNT: 4
REFERENCE(S):
(1) G D Searle & Co; WO 9603113 A1 1996 CAPLUS
(2) Harvard Scientific Corporation; WO 9722334 A1 1997 CAPLUS
(3) Popescu; US 5154930 A 1992 CAPLUS
(4) Vivus Inc; WO 9628142 A1 1996 CAPLUS

L20 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:68325 CAPLUS
DOCUMENT NUMBER: 132:113115
TITLE: Transdermal patch and topical compositions comprising propylnorapomorphine
INVENTOR(S): Gessa, Gian Luigi
PATENT ASSIGNEE(S): Unihart Corporation, Ire.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000003698	A1	20000127	WO 1999-IE66	19990715
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9946434	A1	20000207	AU 1999-46434	19990715
PRIORITY APPLN. INFO.:			IT 1998-RM479	19980717
			WO 1999-IE66	19990715

AB Pharmaceutical compn. comprising R(-)- or S(+)-propylnorapomorphine-HCl and/or derivs. thereof, together with antioxidants, solubilizers and permeation activators to facilitate the passage of the active principle through the skin. The pharmaceutical compn. is used in matrix of a transdermal patch for the treatment of disorders of the Central Nervous System and in particular for the treatment of sexual **impotence**, hemicrania, Parkinson's disease and psychotic disorders. The release of the active principle can be modified by varying the concns. of the solubilizers or of the permeation activators, or by providing a permeable membrane.

REFERENCE COUNT: 3
REFERENCE(S):
(1) Atkinson, A; JOURNAL OF MEDICINAL CHEMISTRY 1975, V18(10), P1000
(2) Banks, H; US 4126616 A 1978 CAPLUS
(3) Prographarm Lab; FR 2732896 A 1996 CAPLUS

L20 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:819198 CAPLUS
DOCUMENT NUMBER: 132:69324
TITLE: A device and method for the treatment of **erectile dysfunction**
INVENTOR(S): Fotinos, Spiros
PATENT ASSIGNEE(S): Lavipharm Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9966870	A1	19991229	WO 1999-US14410	19990625
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9947200	A1	20000110	AU 1999-47200	19990625
PRIORITY APPLN. INFO.:			US 1998-90674	19980625
			WO 1999-US14410	19990625

AB Devices and methods for treatment of **erectile dysfunction** and methods of manuf. are provided. The devices include filmogenic polymers, a therapeutic agent, a permeation **enhancer**, and other ingredients. An embodiment of the device includes a backing and a release liner. Thus, a formulation contained PGE1 6.20, linoleic acid 6.00, PVP 42.40, PEG-400 45.40%.

IT **110-27-0, Isopropyl myristate**
RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(device and method for treatment of **erectile dysfunction**)

REFERENCE COUNT: 7
REFERENCE(S):
(1) Biotec Centre SA; FR 2748658 A 1997 CAPLUS
(2) Boeck Robert, F; US 4829991 A 1989
(3) Campbell Patricia, S; US 4867982 A 1989 CAPLUS
(4) Cohen Gerard G; EP 0266968 A 1988 CAPLUS
(5) Denzer Eric; US 5333621 A 1994
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:795994 CAPLUS
DOCUMENT NUMBER: 132:31744
TITLE: Gene probes used for genetic profiling in healthcare screening and planning
INVENTOR(S): Roberts, Gareth Wyn
PATENT ASSIGNEE(S): Genostic Pharma Ltd., UK
SOURCE: PCT Int. Appl., 745 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9964627	A2	19991216	WO 1999-GB1780	19990604

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

GB 1998-12099	19980606
GB 1998-13291	19980620
GB 1998-13611	19980624
GB 1998-13835	19980627
GB 1998-14110	19980701
GB 1998-14580	19980707
GB 1998-15438	19980716
GB 1998-15574	19980718
GB 1998-15576	19980718
GB 1998-16085	19980724
GB 1998-16086	19980724
GB 1998-16921	19980805
GB 1998-17097	19980807
GB 1998-17200	19980808
GB 1998-17632	19980814
GB 1998-17943	19980819

AB There is considerable evidence that significant factor underlying the individual variability in response to disease, therapy and prognosis lies in a person's genetic make-up. There have been numerous examples relating that polymorphisms within a given gene can alter the functionality of the protein encoded by that gene thus leading to a variable physiol. response. In order to bring about the integration of genomics into medical practice and enable design and building of a technol. platform which will enable the everyday practice of mol. medicine a way must be invented for the DNA sequence data to be aligned with the identification of genes central to the induction, development, progression and outcome of disease or physiol. states of interest. According to the invention, the no. of genes and their configurations (mutations and polymorphisms) needed to be identified in order to provide crit. clin. information concerning individual prognosis is considerably less than the 100,000 thought to comprise the human genome. The identification of the identity of the core group of genes enables the invention of a design for genetic profiling technologies which comprises of the identification of the core group of genes and their sequence variants required to provide a broad base of clin. prognostic information - "genostics". The "Genostic.RTM." profiling of patients and persons will radically enhance the ability of

clinicians, healthcare professionals and other parties to plan and manage healthcare provision and the targeting of appropriate healthcare resources to those deemed most in need. The use of this invention could also lead to a host of new applications for such profiling technologies, such as identification of persons with particular work or environment related risk, selection of applicants for employment, training or specific opportunities or for the enhancing of the planning and organization of health services, education services and social services.

L20 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:783947 CAPLUS
DOCUMENT NUMBER: 132:26851
TITLE: Topical pharmaceuticals containing vasodilators and aloe extract for treatment of **erectile dysfunction**
INVENTOR(S): Kemp, Donald Jack; Cox, Donald P.
PATENT ASSIGNEE(S): Jedco Products, Llc, USA
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962533	A1	19991209	WO 1999-US12081	19990602

W: BR, CA, CN, IL, JP, KR
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1998-90710 19980604

AB A pharmaceutical prepn. for topical application to the male sexual organ having as active ingredients at least one vasodilator from the group including glyceryl trinitrate, aminophylline, co-dergocrine mesylate, and isosorbide dinitrate and an aloe ext. together with adjuvants to enhance penetration and stability to form an aq. cream or gel packaged in unit dosage form. A cream contained aminophylline 2.50, isosorbide dinitrate 0.50, co-dergocrine mesylate 0.01, aloe ext. (10:1) 15.00, stearic acid 24.00, triethanolamine 2.20, silicone 344 2.00, cetyl **alc.** 1.00, Carbopol-940 0.80, glycerol 0.60, Me paraben 0.25, fragrance 0.15, and colors 0.05.

IT **110-27-0, Isopropyl myristate**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical pharmaceuticals contg. vasodilators and aloe ext. for treatment of **erectile dysfunction**)

REFERENCE COUNT: 4
REFERENCE(S): (1) Allen; US 5698589 A 1997 CAPLUS

(2) Bae; US 5723138 A 1998 CAPLUS
(3) Gomaa, A; British Medical Journal 1996, V312, P1512 CAPLUS
(4) Hardy; US 4981686 A 1991

L20 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:549139 CAPLUS
DOCUMENT NUMBER: 131:179824
TITLE: Use of thiadiazolo pyridine derivatives as phosphodiesterase inhibitors
INVENTOR(S): Friebe, Walter-Gunar; Schaumann, Wolfgang; Wilhelms, Otto-Henning
PATENT ASSIGNEE(S): Roche Diagnostics G.m.b.H., Germany
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942089	A2	19990826	WO 1999-EP886	19990211
WO 9942089	A3	19991014		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9929260	A1	19990906	AU 1999-29260	19990211
EP 1054669	A2	20001129	EP 1999-910217	19990211
R: DE, ES, FR, GB, IT				
PRIORITY APPLN. INFO.:			EP 1998-102675	19980217
			WO 1999-EP886	19990211

AB Use of thiadiazolo[4,3-a]pyridine derivs. and their physiol. tolerable salts for the treatment of diseases which are modulated by inhibition of phosphodiesterase(s) via cyclic nucleotides, in particular cyclic adenosine monophosphate, is described. Thiadiazolo[4,3-a]pyridine derivs. are useful for the prodn. of a medicament for the treatment of proliferative disorders, including tumors, lymphomas, leukemias, atherosclerosis and glomerulopathies, memory and/or learning disorders, **impotence**, obesity, ischemic or thrombolytic disorders, such as coronary or cerebral infarct, and serum disorders. 2-(4-Pyridinylimino)-3H-[1,2,4]thiadiazolo[4,3a]pyridine (I) was prepd. from

trichloromethanesulfenyl chloride and 2- and 4-aminopyridines and its anti-proliferative action was examd. in vitro. The compd. inhibited the activation of various types of leukocytes with the IC50 of 2.8-27 mg/L and it was well tolerated by small exptl. animals. An oral dose of 24 mg/kg I caused av. plasma levels of I over several hours after administration which exceeded the necessary in vitro concns. for significant inhibition of isolated human phosphodiesterase or for inhibition of secretion of, e.g. TNF.alpha. (in each case 2 x 10-5 mol/L).

L20 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:537952 CAPLUS
DOCUMENT NUMBER: 131:161651
TITLE: Composition and method for treating penile **erectile dysfunction**
INVENTOR(S): Samour, Carlos M.; Krauser, Scott F.; Gyurik, Robert J.
PATENT ASSIGNEE(S): MacroChem Corp., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5942545	A	19990824	US 1997-864130	19970527
WO 9965303	A1	19991223	WO 1998-US12284	19980615
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9878387	A1	20000105	AU 1998-78387	19980615
EP 1026947	A1	20000816	EP 1998-926585	19980615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000511944	T2	20000912	JP 1999-526202	19980615
BR 9811797	A	20000926	BR 1998-11797	19980615
PRIORITY APPLN. INFO.:			US 1997-864130	19970527
			WO 1998-US12284	19980615

AB A compn. for the topical transdermal administration to the penis is based on prostaglandin E1. The compn. is non-irritating and effective for relieving erectile **impotence** or other penile

erectile dysfunction. A penetration-enhancing effective amt. of a dioxolane, dioxane, or acetal skin penetration enhancing compd. in a pharmaceutically acceptable aq. **alc**. carrier is used to facilitate the penetration of the prostaglandin E1 active ingredient through the skin. Phentolamine or prazosin may be used in combination with prostaglandin E1. An aq. topical gel contained prostaglandin E1 0.1, 2-n-nonyl-1,3-dioxolane 5, hydroxypropyl cellulose 1, and **ethanol**/water (70:30) q.s. to 100 %.

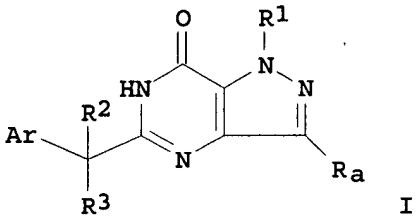
IT **64-17-5**, **Ethanol**, biological studies
67-63-0, Isopropyl **alcohol**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prostaglandin E1-based topical compns. for administration to penis for treatment of erectile **impotence**)

REFERENCE COUNT: 49
REFERENCE(S): (5) Anon; CZ 277720 1993 CAPLUS
(10) Bellamy; US 5451609 1995 CAPLUS
(11) Birnbaum; US 4311707 1982 CAPLUS
(12) Buhl; US 5488059 1996 CAPLUS
(13) Cavallini; US 5336678 1994 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

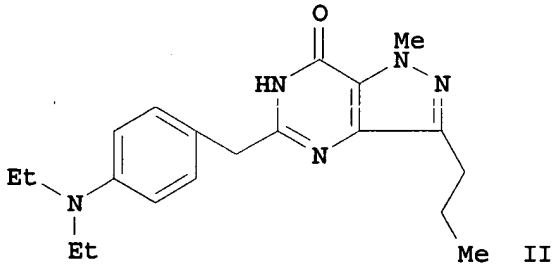
L20 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:279736 CAPLUS
DOCUMENT NUMBER: 130:296693
TITLE: Preparation of pyrazolo[4,3-d]pyrimidine derivatives as inhibitors of phosphodiesterase 1 and pharmaceutical compositions containing them
INVENTOR(S): Bell, Andrew Simon; Terrett, Nicholas Kenneth
PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Limited
SOURCE: Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 911333	A1	19990428	EP 1998-308177	19981008
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2251453	AA	19990424	CA 1998-2251453	19981023
JP 11217383	A2	19990810	JP 1998-304076	19981026
BR 9804214	A	19991214	BR 1998-4214	19981026
PRIORITY APPLN. INFO.:			GB 1997-22520	19971024

OTHER SOURCE(S): MARPAT 130:296693
GI



I



II

AB The title compds. [I; Ra = C2-6 alkyl; R1 = H, C1-4 alkyl; each of R2 and R3 is independently selected from H and C1-4 alkyl, or R2 is H or C1-4 alkyl and R3 is OH, C2-4 alkanoyloxy or fluoro, or R2 and R3 when taken together represent C2-6 alkylene, or R2 and R3 when taken together with the carbon atom to which they are attached represent a carbonyl group; Ar = (un)substituted Ph] are prepd. and claimed. These compds. are inhibitors of at least Ca/CAM-dependent phosphodiesterase 1 (PDE1). Some of the compds. are selective and potent inhibitors of Ca/CAM-dependent PDE1. They are useful for the treatment of stroke, dementia, memory enhancement, atherosclerosis, urge incontinence, hypertension, angina pectoris, congestive heart failure, myocardial infarction or restenosis. They are also used for the treatment of male **erectile dysfunction**, female **sexual dysfunction**, premature labour, dysmenorrhoea, benign prostatic hyperplasia (BPH), bladder outlet obstruction, incontinence, stable, unstable and variant (Prinzmetal) angina, hypertension, pulmonary hypertension, congestive heart failure, atherosclerosis, stroke, peripheral vascular disease, conditions of reduced blood vessel patency, chronic asthma, bronchitis, allergic asthma, allergic rhinitis, glaucoma or diseases characterized by disorders of gut motility. Thus, N-ethyl-N-{4-[(1-methyl-7-oxo-3-propyl-6,7-dihydro-1H-pyrazolo [4,3-d]pyrimidin-5-yl)methyl]phenyl}acetamide was reduced by LiAlH4 in THF under reflux to give the title compd. (II). II in vitro showed IC50 of 38 nM, 1.99, 3.94, 23, 2.49, and 2.03 .mu.M against human cardiac ventricle, human corpus cavernosum, human corpus cavernosum, rat kidney, human corpus cavernosum, and bovine

retinauman cardiac PDE1, resp.
IT **64-17-5, Ethanol**, reactions
RL: RCT (Reactant)
(prepn. of pyrazolo[4,3-d]pyrimidine derivs. as inhibitors of phosphodiesterase 1 for treatment of diseases)
REFERENCE COUNT: 1
REFERENCE(S): (1) Warner-Lambert; EP 0201188 A 1986 CAPLUS

L20 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:793038 CAPLUS
DOCUMENT NUMBER: 130:20605
TITLE: New BPC peptide salts with organo-protective activity, the process for their preparation and their use in therapy
INVENTOR(S): Sikiric, Predrag; Petek, Marijan; Seiwerth, Sven; Turkovic, Branko; Grabarevic, Zeljko; Rotkvic, Ivo; Mise, Stjepan; Duvnjak, Marko; Udovicic, Ivan
PATENT ASSIGNEE(S): Croatia
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852973	A1	19981126	WO 1998-EP2953	19980520
W: AU, BA, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, JP, KR, MX, NO, NZ, PL, SK, TR, UA, US, UZ, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9879141	A1	19981211	AU 1998-79141	19980520
EP 983300	A1	20000308	EP 1998-929345	19980520
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
BR 9809457	A	20000620	BR 1998-9457	19980520
JP 2000515558	T2	20001121	JP 1998-549948	19980520
NO 9905692	A	20000124	NO 1999-5692	19991119
PRIORITY APPLN. INFO.:			EP 1997-108384	19970523
			WO 1998-EP2953	19980520

OTHER SOURCE(S): MARPAT 130:20605
AB The present invention discloses new pharmaceutical compns. useful for the treatment of various human and animal diseases. These pharmaceutical compns. contain one or more salts of BPC (Body Protection Compd.) peptide comprising 8-15 amino acid residues or analogs thereof. The cations of the salts are derived from inorg.

or org. bases. Thus, the prepn. of monosodium salt of BPC157 (NaBPC157) and its formulation into capsules contg. trehalose and soln. contg. glycerol are presented. Antiulcer, vascular endothelium protective, anti-angiogenesis, anti-inflammatory, free radical scavenging, cytoprotective and organ protective, cardioprotectant, antiarrhythmic, anti-parkinsonian, antihypertensive, antitumor, analgesic, anti-ischemic, etc. activities of NaBPC157 are demonstrated in animal models.

IT **64-17-5**, **Ethanol**, biological studies
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(injuries from; prepn. and therapeutic uses of salts of BPC fragments with organo-protective activity)

REFERENCE COUNT: 2
REFERENCE(S): (1) Pliva Pharm & Chem Works; WO 9411394 A 1994 CAPLUS
(2) Sikiric Predrag; EP 0572688 A 1993 CAPLUS

L20 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:1313 CAPLUS
DOCUMENT NUMBER: 128:93231
TITLE: Water-based topical cream containing nitroglycerin
INVENTOR(S): Allen, Michael P.
PATENT ASSIGNEE(S): International Medical Innovations, Inc., USA
SOURCE: U.S., 6 pp. Cont.-in-part of U.S. Ser. No. 69,409, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5698589	A	19971216	US 1996-594304	19960130
WO 9627372	A1	19960912	WO 1996-US2989	19960305
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2214418	AA	19960912	CA 1996-2214418	19960305
AU 9653025	A1	19960923	AU 1996-53025	19960305
AU 701328	B2	19990128		
EP 814800	A1	19980107	EP 1996-909577	19960305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,				

PT, IE, FI

BR 9607974	A	19980113	BR 1996-7974	19960305
CN 1177294	A	19980325	CN 1996-192273	19960305
JP 11501629	T2	19990209	JP 1996-527007	19960305
NO 9704075	A	19971027	NO 1997-4075	19970904
PRIORITY APPLN. INFO.:			US 1993-69409	19930601
			US 1995-398872	19950306
			US 1996-594304	19960130
			WO 1996-US2989	19960305

AB A stable, uniform, water-based topical cream contg. nitroglycerin, a penetration **enhancer**, water, a thickener and an emulsifier is provided. The method of prepg. the cream and the use of the cream for treating male **erectile dysfunction** or female anorgasmia are described. The present invention also relates to treating patients suffering from microvascular diseases or from injured tissues. Thus, a cream contained water 82.60, nitroglycerin 1.50, propylene glycol 3.00, glycerin 5.00, iso-Pr palmitate 1.00, PEG-8000 0.50, Carbopol-940 1.50, PEG stearate 0.50, Brij-78 1.00, triethanolamine 2.00, sodium borate 0.30, BHT 0.02, methylparaben 0.02, and flavoring agents 0.04%.

IT **110-27-0, Isopropyl myristate**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(water-based topical cream contg. nitroglycerin)

L20 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:752830 CAPLUS
DOCUMENT NUMBER: 128:39564
TITLE: Pharmaceutical compositions containing .alpha.-blockers for treating **erectile dysfunction**
INVENTOR(S): Costa, Pierre; Bromet, Norbert; Bromet Petit, Marguerite; Besse, Jerome
PATENT ASSIGNEE(S): Biotec Centre S.A., Fr.; Mission S.C.; Costa, Pierre; Bromet, Norbert; Bromet-Petit, Marguerite; Besse, Jerome
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9742946	A1	19971120	WO 1997-FR837	19970513

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA,

UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

FR 2748658	A1	19971121	FR 1996-6105	19960515
FR 2748658	B1	20000818		
AU 9729662	A1	19971205	AU 1997-29662	19970513
EP 906093	A1	19990407	EP 1997-924075	19970513
R: ES, FR, GR, IT				
CN 1225581	A	19990811	CN 1997-196485	19970513
PRIORITY APPLN. INFO.:			FR 1996-6105	19960515
			WO 1997-FR837	19970513

AB The use of one or more .alpha.-blocker compds., e.g. moxisylyte and/or derivs. or metabolites thereof such as deacetyl moxisylyte (DAM) or monodemethyl deacetyl moxisylyte (MDAM), for treating **erectile dysfunction** in mammals, particularly humans, by transmucosal delivery via the glans penis, is disclosed. A pharmaceutical gel contained DAM 2.00, propylene glycol 18.00, Transcutol 13.00, hydroxypropyl Me cellulose 0.30, and sodium Me parahydroxybenzoate 0.05 g. Thus, 0.5 mL of the above gel was applied on the glans penis mucosa of patients for about 1 min to observe rigid erection for 7 min.

IT **110-27-0, Isopropyl myristate**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. .alpha.-blockers for treating **erectile dysfunction**)

L20 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:557633 CAPLUS
DOCUMENT NUMBER: 127:239118
TITLE: Drug delivery systems containing ester sunscreens and penetration **enhancers**
INVENTOR(S): Reed, Barry Leonard; Morgan, Timothy Matthias; Finnin, Barrie Charles
PATENT ASSIGNEE(S): Monash University, Australia; Reed, Barry Leonard; Morgan, Timothy Matthias; Finnin, Barrie Charles
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729735	A1	19970821	WO 1997-AU91	19970219

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR,

KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO,
NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA,
UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
GN, ML, MR, NE, SN, TD, TG

AU 9717134	A1	19970902	AU 1997-17134	19970219
AU 706967	B2	19990701		
EP 901368	A1	19990317	EP 1997-904304	19970219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000504697	T2	20000418	JP 1997-528834	19970219
AU 9952589	A1	19991202	AU 1999-52589	19991001
PRIORITY APPLN. INFO.:			AU 1996-8144	19960219
			AU 1997-17134	19970219
			WO 1997-AU91	19970219

OTHER SOURCE(S): MARPAT 127:239118

AB A transdermal drug delivery system which comprises at least one physiol. active agent or prodrug thereof and at least one dermal penetration **enhancer**; characterized in that the dermal penetration **enhancer** is a safe skin-tolerant ester sunscreen. A non-occlusive, percutaneous or transdermal drug delivery system which comprises: (1) an effective amt. of at least one physiol. active agent or prodrug thereof; (2) at least one non-volatile dermal penetration **enhancer**; and (3) at least one volatile liq.; characterized in that the dermal penetration **enhancer** is adapted to transport the physiol. active agent across a dermal surface or mucosal membrane of an animal, including a human, when the volatile liq. evaps., to form a reservoir or depot of a mixt. comprising the penetration **enhancer** and the physiol. active agent or prodrug within said surface or membrane; and the dermal penetration **enhancer** is of low toxicity to, and is tolerated by, the dermal surface or mucosal membrane of the animal. The mean flux of 2% ketoprofen in 70% vol./vol. aq. **ethanol** through shed snakes kinetics in presence of 2% octyl salicylate in 70% vol./vol. aq. **ethanol** was 27.66 as compared to 2.58 .mu.g/cm2.h for azone. A transdermal aerosol contained 17.beta.-estradiol 2, octyl dimethyl-p-aminobenzoate 8, **ethanol** 69, and di-Me ether 30%.

IT **64-17-5**, **Ethanol**, biological studies
67-63-0, Isopropanol, biological studies
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(drug delivery systems contg. ester sunscreens and penetration **enhancers**)

L20 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:134796 CAPLUS
DOCUMENT NUMBER: 126:144111

TITLE: 6-Substituted-1,2,3,4-tetrahydro-9H-carbazoles and 7-substituted-10H-cyclohepta[7,6-b]indoles useful as 5-HT1F receptor agonists.

INVENTOR(S): Flaugh, Michael Edward; Kiefer, Anton Daniel, Jr.; Walker, Clint Duane; Xu, Yao Chang

PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA

SOURCE: Eur. Pat. Appl., 69 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

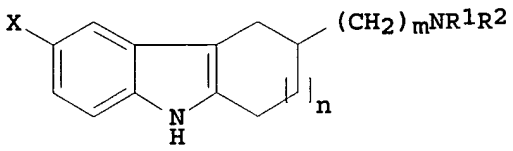
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 749962	A1	19961227	EP 1996-304612	19960621
EP 749962	B1	20001102		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2179678	AA	19961224	CA 1996-2179678	19960621

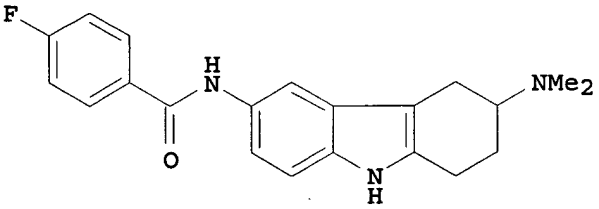
PRIORITY APPLN. INFO.: US 1995-1970 19950623

OTHER SOURCE(S): MARPAT 126:144111

GI



I



II

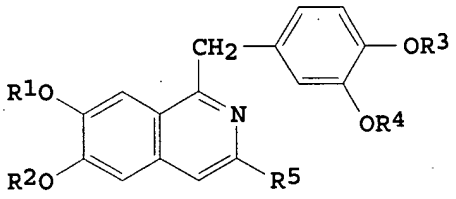
AB The invention provides novel agonists of the serotonin 5-HT1F receptor of formula I [R1, R2 = H, C1-4 alkyl, or CH2CH2-Aryl where Aryl = Ph, monohalophenyl, or 1-(C1-6 alkyl)-pyrazol-4-yl; X = OH, NHC(O)R3, NHC(:Y)NHR4, NHCOOR5, COR6 or NHSO2R7; R3 = C1-6 alkyl, C2-6 alkenyl, C3-8 cycloalkyl, Ph, substituted Ph, naphthyl, phenylalkyl, thienylmethyl, or heterocyclyl; R4 = C1-6 alkyl, Ph, dihalophenyl; R5 = C1-6 alkyl, C2-6 alkenyl, monohalobenzyl, monohalophenyl; R6 = C1-6 alkyl, Ph, monohalophenyl,

monoalkoxyphenyl; R7 = NMe2, monohalophenyl, monoalkylphenyl; m = 0 or 1; n = 1 or 2; and Y = S or O; and pharmaceutically acceptable salts and hydrates thereof, providing: X .noteq. OH when m = 0, n = 1, and R1 and R2 = H or C1-6 alkyl; and R3 .noteq. C1-6 alkyl when m = 0, n = 1, and R1 and R2 = H or C1-6 alkyl]. I are useful for a variety of purposes, and particularly in a method of inhibiting neuronal protein extravasation without causing vasoconstriction, i.e., for treatment of migraine. Approx. 115 synthetic examples and 11 formulation examples are given. For instance, 6-[(tert-butoxycarbonyl)amino]-3-(dimethylamino)-9-(triisopropylsilyl)-1,2,3,4-tetrahydro-9H-carbazole (prepn. given) underwent a sequence of desilylation (83%), followed by removal of the BOC group and amidation with 4-FC6H4COCl (95%), to give title compd. II. Sumatriptan and 5 other compds. were assayed against various 5-HT receptor subtypes, and for inhibition of protein extravasation in rats. The highest correlation factor with extravasation (0.94) was found for the 5-HT1F receptor subtype. I are said to show high oral bioavailability, rapid onset, long duration of action, high potency, and high selectivity for the 1F subtype, avoiding complications due to vasoconstriction (no data).

L20 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1992:241942 CAPLUS
DOCUMENT NUMBER: 116:241942
TITLE: Topical compositions containing a peripheral vasodilator and an absorption **enhancer** and methods for treatment of male **impotence**
INVENTOR(S): El-Rashidy, Ragab
PATENT ASSIGNEE(S): Pharmedic Co., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9203141	A1	19920305	WO 1991-US6028	19910826
W: JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5256652	A	19931026	US 1990-573518	19900827
PRIORITY APPLN. INFO.:			US 1990-573518	19900827
			US 1987-119799	19871112

OTHER SOURCE(S): MARPAT 116:241942
GI



I

AB The title compns. contain a peripheral vasodilator [e.g. an isoquinoline ether(I), where R1-R4 = C1-4 alkyl; R5 = H, Me] and an absorption **enhancer** (e.g. hydroxypropyl-.beta.-cyclodextrin), with optionally a vasoconstrictor and an .alpha.-receptor blocker, to enhance penis erection. The vasoconstrictor is slow acting and restricts blood flow from the penis after erection is achieved. Thus, a topical gel contained papaverine 1, hydroxypropyl-.beta.-cyclodextrin 3, EtOH 20, Methocel E4M 2, and water 74 wt.%.

(FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 14:58:59 ON 29 MAR 2001)

L21 17 S L19
L22 17 S L21 NOT L10
L23 17 DUP REM L22 (0 DUPLICATES REMOVED)

L23 ANSWER 1 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2001-102681 [11] WPIDS
DOC. NO. CPI: C2001-030060
TITLE: Preparation of sildenafil for formulation into troche with apomorphine by inclusion with e.g. cyclodextrin to enhance therapeutic efficacy and stability with reduced side-effects in treating **sexual disorder**.
DERWENT CLASS: B02
INVENTOR(S): DING, D S
PATENT ASSIGNEE(S): (BIOC-N) BIOCHEMICAL PHARM FACTORY ZHUHAI SPECIAL
COUNTRY COUNT: 86
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000078760	A1	20001228	(200111)*	ZH	45

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TZ UG ZW
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI

SK SL TJ TM TR TT UA UG US UZ VN YU ZW

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000078760 A1		WO 2000-CN145	20000608

PRIORITY APPLN. INFO: CN 1999-108194 19990621
AN 2001-102681 [11] WPIDS
AB WO 200078760 A UPAB: 20010224
NOVELTY - Sildanafil is prepared by reacting 5-(5-halosulfonyl-2-ethoxyphenyl)-1-methyl-3-n-propyl-1,6-dihydro-7H-pyrazolo(4,3-d)pyrimidin-7-one with 1-methylpyrazine salt before neutralization and washing to give a not less than 98% pure product.

DETAILED DESCRIPTION - Sildanafil is prepared by reacting 5-(5-halosulfonyl-2-ethoxyphenyl)-1-methyl-3-n-propyl-1,6-dihydro-7H-pyrazolo(4,3-d)pyrimidin-7-one (A) with 1-methylpyrazine salt (B) before neutralization and washing to give a not less than 98% pure product. INDEPENDENT CLAIMS are also included for

(i) sildenafil-containing troche comprising the auxiliary of moist adhesion **enhancer**, acidic medium, lubricant, preservative, taste adjuster, pigment as well as sildenafil citrate, apomorphine hydrochloride and inclusion agent; and

(ii) a method for producing the troche by inclusion of at least 1 of apomorphine hydrochloride and sildenafil citrate then mixing as well as grinding with the other ingredients and pressing into plates.

ACTIVITY - Selective inhibition on phosphodiesterase V; raising cGMP level; enhancing release of nitric oxide (NO); increasing blood flow to penis.

MECHANISM OF ACTION - Phosphodiesterase V inhibitor.

USE - The drug is for use in treating **sexual disorder**, e.g. penile **erectile dysfunction**

ADVANTAGE - Such compound formulation has enhanced therapeutic efficacy, reduced side-effects of bitter taste, nausea and lowering blood pressure, with rapid drug action and synergistic effect from both sildenafil and apomorphine.

Dwg.0/13

L23 ANSWER 2 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2001-049791 [06] WPIDS
DOC. NO. CPI: C2001-013645
TITLE: Topical compositions containing prostaglandin E1 are useful for treating female **sexual dysfunction**, peripheral vascular disease, male **erectile dysfunction** and

for enhancing female sexual responsiveness.
DERWENT CLASS: A96 B05
INVENTOR(S): BUYUKTIMKIN, N; BUYUKTIMKIN, S; YEAGER, J L
PATENT ASSIGNEE(S): (NEXM-N) NEXMED HOLDINGS INC
COUNTRY COUNT: 86
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000069469	A1	20001123	(200106)*	EN	32

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZA ZW

AU 9939891	A	20001205	(200113)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000069469	A1	WO 1999-US10596	19990513
AU 9939891	A	AU 1999-39891	19990513
		WO 1999-US10596	19990513

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9939891	A	Based on	WO 200069469

PRIORITY APPLN. INFO: WO 1999-US10596 19990513
AN 2001-049791 [06] WPIDS
AB WO 200069469 A UPAB: 20010126
NOVELTY - A topical composition comprises prostaglandin E1, a skin penetration **enhancer** (selected from an alkyl-2-(N,N-disubstituted amino)-alkanoate (I) or (N,N-disubstituted)-alkanol alkanoate (II)), a polysaccharide gum or polyacrylic acid polymer, a lipophilic compound (1-8C **alcohol** and/or 8-30C aliphatic ester) and an acidic buffer system.
USE - The composition is useful for treating female **sexual dysfunction**, peripheral vascular disease, male **erectile dysfunction** and for enhancing female sexual responsiveness (all claimed).
The compositions may be used for the treatment of Raynaud's phenomenon/disease, Buerger's disease, livedo reticularis, acrocyanosis, atherosclerosis, frostbite, vitiligo, alopecia reata,

impending gangrene and other ischemic disorders. The ability of the compositions to increase peripheral circulation makes them useful for enhancing the rate of healing of wounds, ulcers, infections, and proliferative and inflammatory skin lesions including atopic dermatitis, acne and psoriasis; to treat **impotency**, or to increase the rate of absorption of pharmaceuticals. They may also be used to improve skin color and to promote blush.

ADVANTAGE - The transdermal formulations avoid the low bioavailability and rapid chemical decomposition associated with other delivery methods.

Dwg.0/2

L23 ANSWER 3 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD

ACCESSION NUMBER: 2000-532965 [48] WPIDS
DOC. NO. NON-CPI: N2000-394216
DOC. NO. CPI: C2000-158834
TITLE: Formulation for intra-oral delivery of pharmaceutical agent(s), especially insulin in diabetic patients and fentanyl citrate for chronic pain management, comprises agent mixed with oral-absorption **enhancer** in carrier-solvent.
DERWENT CLASS: A96 B05 B07 C03 C07 P34
INVENTOR(S): LIBBEY, M A; MCCOY, R; WILLIAMS, R O
PATENT ASSIGNEE(S): (LIBB-I) LIBBEY M A; (MCCO-I) MCCOY R; (MQSM-N) MQS INC; (WILL-I) WILLIAMS R O
COUNTRY COUNT: 89
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000047203	A1	20000817	(200048)*	EN	25
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW					
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW					
AU 2000028791	A	20000829	(200062)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000047203	A1	WO 2000-US3555	20000211
AU 2000028791	A	AU 2000-28791	20000211

FILING DETAILS:

Searcher : Shears 308-4994

PATENT NO	KIND	PATENT NO
AU 2000028791 A	Based on	WO 200047203

PRIORITY APPLN. INFO: US 1999-119923 19990212
AN 2000-532965 [48] WPIDS
AB WO 200047203 A UPAB: 20001001
NOVELTY - Formulation for intra-oral delivery of at least one pharmaceutical agent (I) to a patient comprises (I) mixed with an oral-absorption **enhancer** (II) in a carrier-solvent (III). (II) is adapted to modify a surface membrane of the patient's intra-oral cavity such that absorption of (I) through the surface membrane is initiated or increased.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(A) a formulation for intra-oral delivery of at least one (I) to a patient, the formulation comprising (I) mixed with (II), a formulation surfactant, **ethanol**, and a propellant and where, (II) is adapted to increase bioavailability of (I) through the patient's intra-oral cavity and the formulation surfactant is adapted to reduce (I) to a droplet size of less than 200 microns, and the propellant is adapted to deliver reduced (I) to the mucosa of the patient's intra-oral cavity;

(B) a formulation for intra-oral delivery of insulin to a patient comprising 0.1-10 wt.% insulin, 0.1-10 wt.% (II), 0.1-10% of a dispersing aid or miscibility agent, 5-50 wt.% (III), and a propellant, where (II) is adapted to modify a surface membrane of the patient's intra-oral cavity such that absorption of the insulin through the surface membrane is initiated or increased;

(C) a system adapted for intra-oral delivery of at least one (I) to a patient comprising (I) mixed with a surfactant or (II) in (III), and a mechanical assembly for dispensing the formulation to the mucosa of the intra-oral cavity of the patient, where the mechanical assembly includes an aerosolizing device and the formulation is disposed within the mechanical assembly and emitted from there in a spray caused by the aerosolizing device;

(D) a system for treating a patient with (I) comprising: (i) a formulation comprising (I) being stabilized until ready for administration to the patient and one or more compounds adapted to enhance absorption through the mucosa of the patient's intra-oral cavity via a spray caused by an aerosolizing device; and (ii) a mechanical assembly for dispensing the formulation to the mucosa of the intra-oral cavity, the mechanical assembly having an aerosolizing device for reducing the formulation to a spray;

(E) a method for administering (I) to a patient comprising providing the system in (D) and spraying the formulation into the patient's intra-oral cavity.

MECHANISM OF ACTION - (II) increases bioavailability through the intra-oral cavity and the formulation further comprises a

surfactant for reducing the pharmaceutical agent to droplet size of 10-200 microns (claimed).

USE - The formulation is especially useful for administering therapeutic agents with poor aqueous solubility or which are not easily absorbed through, or not effective when administered through the gastrointestinal tract. These include small and large molecule proteins and peptides including calcitonin, human growth factors and insulin. The formulation is particularly useful for administering insulin in diabetic patients and for administering the opioid analgesic fentanyl used in chronic pain management, particularly fentanyl citrate used in patients suffering from pain associated with cancer and chemotherapy. The formulation may also be used in treatment of male hypogonadism, **impotence** and osteoporosis. The patient is preferably a non-human animal (claimed).

ADVANTAGE - The formulation enables the delivery of pharmaceutical agents through the mucosa of the intra-oral cavity. The formulation provides an efficient and convenient drug delivery method for many pharmaceutical agents that results in rapid onset of therapeutic action, avoids the hepatic first pass effect, and reduces the amount of drug needed for an effective dose, thus reducing cost. Also, a non-invasive alternative is provided to pulmonary, nasal or gastrointestinal delivery of pharmaceutical agents, and absorption is increased and accelerated. The pharmaceutical agent is directly targeted to the intra-oral delivery site of absorption by the delivery system which combines appropriate droplet size, strength of dose and absorption **enhancers** formulated to provide optimum bioavailability and onset of action. The method of delivery is easier, less inconvenient, and/or less-embarrassing than other methods of administration (e.g. injection delivery of insulin), thus increasing patient compliance. A further benefit of oral versus inhalation administration is that oral spray delivery does not have the same long-term toxicological effects as when inhaling the compounds. The formulation are advantageous in delivering pharmaceutical agent to animals which are often resistant to traditional means of drug delivery.

A bioavailability study was performed in a rat model using a formulation containing 30 units of bovine insulin and prepared as described in the example but using 1% of the insulin. The formulation produced a 45% decrease in blood glucose over 90 minutes post administration and the decrease in blood glucose following administration was linear up to 90 minutes post dosing. Control formulations were administered and no decrease in blood glucose was observed.

Dwg.0/4

L23 ANSWER 4 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-423200 [36] WPIDS
DOC. NO. CPI: C2000-128061

TITLE: Compositions suitable for topical application of female **sexual** arousal **disorder** comprise vasoactive agent, polymer thickener, lipophilic component, penetration **enhancer** and buffer system.

DERWENT CLASS: A14 A96 B05

INVENTOR(S): BUYUKTIMKIN, N; BUYUKTIMKIN, S; YEAGER, J L

PATENT ASSIGNEE(S): (NEXM-N) NEXMED HOLDINGS INC

COUNTRY COUNT: 88

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000033825	A2	20000615	(200036)*	EN	63

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW

W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU ZA ZW

AU 2000023586	A	20000626	(200045)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000033825	A2	WO 1999-US29471	19991210
AU 2000023586	A	AU 2000-23586	19991210

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2000023586	A Based on	WO 200033825

PRIORITY APPLN. INFO: US 1998-208965 19981210

AN 2000-423200 [36] WPIDS

AB WO 200033825 A UPAB: 20000801

NOVELTY - Compositions with a pH of 3.0-7.4 suitable for topical application comprising an effective amount of vasoactive agent, polymer thickener, lipophilic component and penetration **enhancer**, and a buffer system.

DETAILED DESCRIPTION - Compositions with a pH of 3.0-7.4 suitable for topical application comprising an effective amount of vasoactive agent, polymer thickener, lipophilic component and penetration **enhancer**, and a buffer system. The penetration **enhancer** is **ethanol**, propylene glycol, glycerol, ethyl laurate, isopropyl palmitate, **isopropyl myristate**, 1-dodecylazacycloheptane-2-one, dioxolanes,

macrocyclic ketones, oxazoledones, alkyl-2-(N,N-di-substituted amino)alkanoates and/or (N,N-di-substituted amino)alkanol alkanoates a and mixtures thereof.

An INDEPENDENT CLAIM is also included for articles of manufacture comprising:

(a) a container without a closure;

(b) a composition suitable for topical application comprising prostaglandin E1 (PGE1); and

(c) a label that provides instructions for use in human females.

ACTIVITY - Female sexual arousal enhancing; Vasotropic.The efficacy and safety of placebo and three doses of topical PGE1 cream were evaluated in female subjects (n = 8) with female **sexual dysfunction** in a single-center, single blind, escalating dose, placebo-controlled, pilot study. The compositions comprised Noveon AA-1 (RTM: polycarbophil), **ethanol**, propylene glycol, ethyl laurate, 0.005M pH 5.5 buffer, 1M sodium hydroxide and PGE1 (0.05, 0.1, 0.2%). Efficacy was assessed by vaginal photoplethysmography during visual sexual stimulation and by the use of quantitative patient questionnaires and diaries. Visit 1 was used for enrollment, at visit 2, subjects received a single-blinded, intravaginal dose of placebo, at visit 3 they received a single-blinded dose of PGE1 cream (0.5 mg) applied to the labia, clitoris and vulva, at visit 4 they received a single-blinded dose of PGE1 cream (1.0 mg) applied to the labia, clitoris and vulva and at visit 5 they received a single-blinded dose of PGE1 cream (2.0 mg) applied to the labia, clitoris and vulva. The graphs of maximum responses showed increased responses relative to baseline in all but one subject. The responses to questions relating to subjective arousal and pleasurable feelings were near the p=0.05 level if the 0.05% and 0.2% dose levels were compared. Minimal adverse events and comparison of vital signs indicated that the medication was well tolerated.

MECHANISM OF ACTION - None given.

USE - The compositions are used in the topical treatment of female **sexual** arousal **disorder** and to enhance female sexual response (claimed). The compositions are used to modulate the arousal, excitation and plateau phases of the female sexual response on demand. The compositions are used to deliver vasoactive compounds chosen from PGE1 and/or phentolamine (claimed), nitrates (nitroglycerin, isosorbide dinitrate, erythrityl tetranitrate, amyl nitrate, sodium nitroprusside, molsidomine, linsidomine chlorhydrate, S-nitroso-N-acetyl-d,l-penicillamine), long- and short-acting alpha-blockers (phenoxybenzamine, dibenamine, doxazosin, terazosin, phentolamine, tolazoline, prazosin, trimazosin, alfuzosin, tamsulosin, indoramin), ergot alkaloids (ergotamine and its analogs - acetergamine, brazergoline, bromerguride, cianergoline, delorgotrile, disulergine, ergonovine maleate, ergotamine tartrate, etisulergine, lergotrile, lysergide,

mesulergine, metergoline, metergotamine, nicergoline, pergolide, propisergide, proterguride, terguride), antihypertensives (diazoxide, hydralazine, minoxidil), vasodilators (nimodipine, pinacidil, cyclandelate, dipyridamole, isoxsuprine), chlorpromazine, haloperidol, yohimbine, trazodone and vasoactive intestinal peptides.

ADVANTAGE - The pH of the compositions minimizes irritation of skin and mucous membranes.

Dwg.0/10

L23 ANSWER 5 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-283418 [24] WPIDS
DOC. NO. CPI: C2000-085537
TITLE: Self-emulsifying composition useful for treating **erectile dysfunction**, comprises prostaglandin E1, and a liquid mixture of oil, surfactant and cosurfactant.
DERWENT CLASS: B05
INVENTOR(S): CHOI, Y W; LEE, S G; LEE, S S; PARK, G B; LEE, S K
PATENT ASSIGNEE(S): (GUJU-N) GUJU PHARM JH; (GUJU-N) GUJU PHARM CO LTD
COUNTRY COUNT: 23
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000016744	A1	20000330	(200024)*	EN	38
RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE					
W: CA CN DE GB JP US					
KR 2000022734	A	20000425	(200107)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000016744	A1	WO 1999-KR568	19990921
KR 2000022734	A	KR 1999-34157	19990818

PRIORITY APPLN. INFO: KR 1999-34157 19990818; KR 1998-39462 19980923
AN 2000-283418 [24] WPIDS
AB WO 200016744 A UPAB: 20000522
NOVELTY - Self-emulsifying composition for the treatment of **erectile dysfunction** comprising one or more lower **alcohols** , an oil, and a carrier medium containing a surfactant and prostaglandin E1, is new.

DETAILED DESCRIPTION - New composition for the treatment of **erectile dysfunction** comprises:

(a) one or more lower **alcohols** selected from

ethanol, isopropyl **alcohol** and/or benzyl **alcohol**;

(b) an oil selected from natural oils, glycerides, polyglycolized glycerides, liquid paraffin (mineral oil) and **isopropyl myristate**; and

(c) a carrier medium containing a surfactant with an HLB value of 10 or higher and prostaglandin E1 as active agent.

USE - The composition is used to treat **erectile dysfunction**.

ADVANTAGE - The composition has increased stability because prostaglandin E1 is dispersed in oil. It is easy to administer as it is a solution. Upon contact with moisture, the composition obtains viscosity or forms a gel thus increasing retention and rapid absorption of the active agent. Prior art stable formulations of prostaglandin E1 such as an injectable lyophilized powder or suppository have side effects such as pain on administration, tissue fibrosis or reluctance to insert a suppository into the urinary tract.

Dwg.0/12

L23 ANSWER 6 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD

ACCESSION NUMBER: 2000-534430 [49] WPIDS

DOC. NO. CPI: C2000-159467

TITLE: Stable solid dispersion composition comprises a low-solubility drug e.g. prazosin, nifedipine or trimazosin and at least one polymer e.g. cellulose acetate phthalate or cellulose acetate terephthalate.

DERWENT CLASS: A18 A96 B07

INVENTOR(S): BABCOCK, W C; FRIESEN, D T; NIGHTINGALE, J A; SHANKER, R M; NIGHTINGALE, J A S

PATENT ASSIGNEE(S): (PFIZ) PFIZER PROD INC

COUNTRY COUNT: 27

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
EP 1027886	A2	20000816	(200049)*	EN	39
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI					
CA 2298214	A1	20000810	(200052)	EN	
JP 2000229887	A	20000822	(200055)		45

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
EP 1027886	A2	EP 2000-300815	20000202
CA 2298214	A1	CA 2000-2298214	20000209

Searcher : Shears 308-4994

JP 2000229887 A JP 2000-32955 20000210

PRIORITY APPLN. INFO: US 1999-119401 19990210
AN 2000-534430 [49] WPIDS
AB EP 1027886 A UPAB: 20001006
NOVELTY - A solid dispersion composition formed by solvent processing, comprising a low-solubility drug and at least one polymer (P1) having a glass transition temperature of at least 100 deg. C measured at 50% relative humidity, where a major portion of the drug once dispersed is amorphous, is new.
USE - The composition is used to prepare solid dispersions of drugs such as antihypertensives e.g. prazosin, nifedipine, trimazosin and doxazosin, antianxiety agents, anticlotting agents, anticonvulsants, blood glucose-lowering agents, decongestants, antihistamines, antitussives, antineoplastics, beta blockers, antiinflammatories, antipsychotic agents, cognitive **enhancers**, cholesterol-reducing agents, antiobesity agents, autoimmune disorder agents, anti-**impotence** agents, antibacterial and antifungal agents, hypnotic agents, anti-Parkinsonism agents, anti-Alzheimer's disease agents, antibiotics, anti -depressants, and antiviral agents.
ADVANTAGE - The composition increases the bioavailability of the low-solubility drug by creating an enhanced concentration of the drug in an aqueous environment thus resulting in lower dosage of the drug. It has improved stability on storage due to the stabilizing effect of P1. Prior art solid dispersions show enhanced bioavailability of the low-solubility drug if administered shortly after preparation. Bioavailability decreases over time and the drug may revert to crystalline form on storage.
Dwg.0/3

L23 ANSWER 7 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-148595 [14] WPIDS
DOC. NO. CPI: C2000-046733
TITLE: Transmucosal therapeutic system useful for treating male sexual **impotence** contains sildenafil (Viagra).
DERWENT CLASS: A96 B02
INVENTOR(S): STRUENGMANN, T
PATENT ASSIGNEE(S): (HEXA-N) HEXAL AG
COUNTRY COUNT: 82
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
DE 19834506	A1	20000203	(200014)*		4
WO 2000007597	A1	20000217	(200017)	GE	

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC

MW NL OA PT SD SE SL SZ UG ZW
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI
GB GE GH GM HR HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT
LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL
TJ TM TR TT UA UG US UZ VN YU ZW
AU 9952898 A 20000228 (200030)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DE 19834506	A1	DE 1998-19834506	19980731
WO 2000007597	A1	WO 1999-EP5465	19990730
AU 9952898	A	AU 1999-52898	19990730

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9952898	A	Based on	WO 200007597

PRIORITY APPLN. INFO: DE 1998-19834506 19980731
AN 2000-148595 [14] WPIDS
AB DE 19834506 A UPAB: 20000320
NOVELTY - Transmucosal therapeutic system (TMTS) contains sildenafil (1-(4-ethoxy-3-(6,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo(4,3-d)pyrimidin-5-yl)phenylsulfonyl)-4-methylpiperazine, Viagra), or a sildenafil salt.
ACTIVITY - Anti-**impotence**.
MECHANISM OF ACTION - Cyclic guanosine monophosphate (cGMP)-specific phosphodiesterase type 5 inhibitor.
USE - The TMTS is useful for treating male sexual **impotence**.
ADVANTAGE - The TMTS avoids drawbacks associated with oral administration of sildenafil (side effects of which include headache, diarrhea, reddening of the face, nasal congestion and visual disturbance) and provides better patient compliance. Effective plasma sildenafil levels can be achieved rapidly after applying the TMTS to the skin, providing greater flexibility and spontaneity.
Dwg.0/0

L23 ANSWER 8 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-162106 [15] WPIDS
DOC. NO. NON-CPI: N2000-120927
DOC. NO. CPI: C2000-050842
TITLE: Transdermal therapeutic system useful for treating male sexual **impotence** contains sildenafil.
Searcher : Shears 308-4994

DERWENT CLASS: A96 B05 B07 D22 P34
INVENTOR(S): SPAETH, W; STRUENGMANN, T
PATENT ASSIGNEE(S): (HEXA-N) HEXAL AG
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
DE 19834505	A1	20000203	(200015)*		4

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DE 19834505	A1	DE 1998-19834505	19980731

PRIORITY APPLN. INFO: DE 1998-19834505 19980731
AN 2000-162106 [15] WPIDS
AB DE 19834505 A UPAB: 20000323
NOVELTY - Transdermal therapeutic system (TTS) contains sildenafil (1-(4-ethoxy-3-(6,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo(4,3-d)pyrimidin-5-yl)phenylsulfonyl)-4-methylpiperazine, i.e. Viagra (RTM)), or a sildenafil salt.
ACTIVITY - Anti-**impotence**.
MECHANISM OF ACTION - Cyclic guanosine monophosphate (cGMP)-specific phosphodiesterase type 5 inhibitor.
USE - The TTS is useful for treating male sexual **impotence**.
ADVANTAGE - The TTS avoids drawbacks associated with oral administration of sildenafil (side effects of which include headache, diarrhea, reddening of the face, nasal congestion and visual disturbance) and provides better patient compliance. Effective plasma sildenafil levels can be achieved rapidly after applying the TTS to the skin, providing greater flexibility and spontaneity.
Dwg.0/0

L23 ANSWER 9 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-136942 [12] WPIDS
DOC. NO. NON-CPI: N2000-102393
DOC. NO. CPI: C2000-041993
TITLE: Delivery device for treating **erectile dysfunction** in a patient.
DERWENT CLASS: A14 A25 A96 B05 B07 P32
INVENTOR(S): FOTINOS, S
PATENT ASSIGNEE(S): (LAVI-N) LAVIPHARM LAB INC
COUNTRY COUNT: 83
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9966870	A1	19991229	(200012)*	EN	25
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW					
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU ZW					
AU 9947200	A	20000110	(200025)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9966870	A1	WO 1999-US14410	19990625
AU 9947200	A	AU 1999-47200	19990625

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9947200	A	Based on	WO 9966870

PRIORITY APPLN. INFO: US 1998-90674 19980625

AN 2000-136942 [12] WPIDS

AB WO 9966870 A UPAB: 20000308

NOVELTY - A delivery device for treating **erectile dysfunction** in a patient comprises a disk formed from filmogenic polymers, and having an effective dose of a therapeutic agent suitable for reversing **erectile dysfunction**.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(1) a method of treating **erectile dysfunction**, comprising selecting a disk formed from a filmogenic polymer and comprising one or more therapeutic agents selected from a vasodilator, a smooth-muscle relaxant, an antidepressant, a parasympathetic stimulator, a renin-angiotensin system inhibitor, a local anesthetic, an alpha blocker and a calcium channel blocker, and delivering the therapeutic agent to the penile surface over a period of time; and

(2) a method or preparing a flexible disk for the treatment of **erectile dysfunction**, comprises preparing a composition having prostaglandin E1, Eutanol g16S, polyvinyl pyrrolidone (PVP) and PEG 400, and forming the composition to have a backing and a release layer.

ACTIVITY - Vasotropic.

MECHANISM OF ACTION - None given.

USE - The delivery device is used for treating **erectile dysfunction** in a patient

ADVANTAGE - The invention is simple, safe, convenient and painless to use, but not open to abuse and that delivers an effective therapeutic dose to the penis over a short period of time and is applied directly to the penis surface without using any additional support, and eventually enabling the patient to achieve normal sexual activity.

DESCRIPTION OF DRAWING(S) - The figure shows a graph of cumulative permeation of prostaglandin per unit area of stratum corneum as a function of time, in response to administration of the formulation.

Time in hours X-axis

Amount permeant in psi g/cm2 y-axis

Dwg.1/1

L23 ANSWER 10 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-126400 [11] WPIDS
DOC. NO. CPI: C2000-038428
TITLE: Topical cream or gel for treating **impotence** or male **erectile dysfunction** comprises vasodilator and aloe extract.
DERWENT CLASS: B05 B07
INVENTOR(S): COX, D P; KEMP, D J
PATENT ASSIGNEE(S): (JEDC-N) JEDCO PROD LLC
COUNTRY COUNT: 24
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9962533	A1	19991209	(200011)*	EN	16

RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE
W: BR CA CN IL JP KR

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9962533	A1	WO 1999-US12081	19990602

PRIORITY APPLN. INFO: US 1998-90710 19980604
AN 2000-126400 [11] WPIDS
AB WO 9962533 A UPAB: 20000301
NOVELTY - Composition (I) comprises at least one vasodilator (A) and 5 wt.% or more aloe extract (B) together with adjuvants (C) to form an aqueous cream or gel.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included

for the preparation of (I) which comprises mixing active ingredients as a finely divided solid or as a solution, to form a solution or dispersion in an aqueous cream or gel composition.

USE - Used for treatment of male **erectile dysfunction** or **impotence**.

ADVANTAGE - (I) is thixotropic, minimizing the effect of temperature variations on viscosity and flow. (C) enhance penetration and stability. (I) causes the erectile tissue to engorge and enables normal sexual intercourse to take place without using dimethyl sulfoxide, which is deleterious to health.

Dwg.0/0

L23 ANSWER 11 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-097054 [08] WPIDS
DOC. NO. CPI: C2000-028106
TITLE: Vasoactive compounds for treating **erectile dysfunction**, particularly **impotence**
.
DERWENT CLASS: A96 B02 B03 B05
INVENTOR(S): SHOEMAKER, J D
PATENT ASSIGNEE(S): (UYSL-N) UNIV SAINT LOUIS; (UYSL-N) UNIV SAINT LOUIS HEALTH SERVICES CENT
COUNTRY COUNT: 86
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9960985	A2	19991202	(200008)*	EN	36

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZA ZW

AU 9943141	A	19991213	(200020)
US 6124461	A	20000926	(200051)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9960985	A2	WO 1999-US11589	19990526
AU 9943141	A	AU 1999-43141	19990526
US 6124461	A	US 1998-84849	19980526

FILING DETAILS:

PATENT NO	KIND	PATENT NO

Searcher : Shears 308-4994

AU 9943141 A Based on WO 9960985

PRIORITY APPLN. INFO: US 1998-84849 19980526
AN 2000-097054 [08] WPIDS
AB WO 9960985 A UPAB: 20000215
NOVELTY - A vasoactive composition comprises an organic cation in combination with an organic anion.

DETAILED DESCRIPTION - A vasoactive composition comprises an organic cation in combination with an organic anion. The organic cation is papaverine, phentolamine, sildenafil, hydralazine, ketanserin, delquamine, trazaodone, yohimbine, linsidomine, molsidomine, ifenprodil, piribedil, diprimidole, minoxidil, phenoxybenzamine, prazocin, terazocin, doxazocin, moxisylate, c-GMP-phosphodiesterase inhibitors and lidocaine and procaine free base, and the organic anion is alprostadil, prostaglandin E1, prostaglandin E0, 13, 14-dihydroprostaglandin E1, prostaglandin E2, eprostinol and nitroprusside. INDEPENDENT CLAIMS are included for:

(A) a method of producing phentolamine alprostadilate;

(B) a method of producing papaverine alprostadilate;

(C) a method of formulating a composition for treating **impotency** comprises providing papaverine free base and a free acid, adding dilauroylphosphatidylcholine and polyethylene glycol to form stable vehicle, and combining the vehicle with the papaverine free base to form the composition;

(D) phentolamine alprostadilate of formula (I); and

(E) papaverine alprostadilate of formula (II).

ACTIVITY - Vasotropic.

MECHANISM OF ACTION - Alpha-adrenic blocker; phosphodiesterase inhibitor.

USE - The invention is used for treating **erectile dysfunction**, particularly, **impotence**.

ADVANTAGE - The compounds have the surprising property of high solubility in drug delivery vehicles and lipids, and can easily diffuse across transitional epithelia cells of the urethra. These compounds allow for self-adjusted dosage while preventing overdose problems. A composition comprising a complex of alprostadil with each of papaverine and phentolamine provides for lower effective doses of alprostadil than in other therapies. The invention has synergistic erection-inducing properties. The active ingredients of the composition are provided at lower concentrations than in the prior art. Consequently, even if compounds or compositions of the invention are self-administered beyond recommended dosage or schedule, the holding capacity of the human urethra will limit dosage to a safe amount.

Dwg.0/6

L23 ANSWER 12 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1999-326903 [27] WPIDS
DOC. NO. NON-CPI: N1999-245222
Searcher : Shears 308-4994

DOC. NO. CPI: C1999-096673
TITLE: Topical prostaglandin E1 compositions for prolonged treatment of e.g. peripheral vascular disease and male **impotency**.
DERWENT CLASS: A11 A14 A96 B05 B07 P32 P34
INVENTOR(S): BUEYUEKTIMKIN, N; BUEYUEKTIMKIN, S; YEAGER, J; BUYUKTIMKIN, N; BUYUKTIMKIN, S; YEAGER, J L
PATENT ASSIGNEE(S): (NEXM-N) NEXMED HOLDINGS INC
COUNTRY COUNT: 28
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9922714	A1	19990514	(199927)*	EN	26
RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE					
W: BR CA CN IL JP KR MX TR					
US 6046244	A	20000404	(200024)		
EP 1028708	A1	20000823	(200041)	EN	
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9922714	A1	WO 1998-US23576	19981105
US 6046244	A	US 1997-964509	19971105
EP 1028708	A1	EP 1998-957603	19981105
		WO 1998-US23576	19981105

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1028708	A1 Based on	WO 9922714

PRIORITY APPLN. INFO: US 1997-964509 19971105
AN 1999-326903 [27] WPIDS
AB WO 9922714 A UPAB: 19990714
NOVELTY - Topical composition comprises:
(i) prostaglandin E1;
(ii) an alkyl-2-(N,N-disubstituted amino)-alkanoate and/or (N,N-disubstituted)-alkanol alkanoate as skin penetration **enhancer**;
(iii) a polysaccharide gum or polyacrylic acid polymer;
(iv) a 1-8C aliphatic **alcohol** and/or 8-30C aliphatic ester as lipophilic compound; and
(v) an acidic buffer.
ACTIVITY - Cardiovascular
MECHANISM OF ACTION - None given.

USE - For topical administration of prostaglandin E1 for prolonged treatment of e.g. peripheral vascular disease and male **impotency**.

ADVANTAGE - The composition gives improved prostaglandin permeation and bioavailability, reduced skin damage and related inflammation and increased flexibility in the design of dosage forms.

Dwg.0/2

L23 ANSWER 13 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1999-254289 [21] WPIDS
DOC. NO. CPI: C1999-074318
TITLE: Topical delivery of nitric oxide releasing substance to skin.
DERWENT CLASS: A96 B05
INVENTOR(S): FOSSEL, E T; FOSSEL, E
PATENT ASSIGNEE(S): (FOSS-I) FOSSEL E T; (STRA-N) STRATEGIC SCI & TECHNOLOGIES INC
COUNTRY COUNT: 83
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9913717	A1	19990325	(199921)*	EN	33
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG ZW					
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH GM HR HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU ZW					
US 5895658	A	19990420	(199923)		
AU 9893186	A	19990405	(199933)		
US 5922332	A	19990713	(199934)		
EP 1041880	A1	20001011	(200052)	EN	
R: AT BE CH DE DK ES FI FR GB GR IT LI NL SE					

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9913717	A1	WO 1998-US19429	19980917
US 5895658	A	US 1997-936188	19970917
AU 9893186	A	AU 1998-93186	19980917
US 5922332	A	US 1997-932595	19970917
EP 1041880	A1	EP 1998-946099	19980917
		WO 1998-US19429	19980917

FILING DETAILS:

Searcher : Shears 308-4994

PATENT NO	KIND		PATENT NO
AU 9893186	A	Based on	WO 9913717
EP 1041880	A1	Based on	WO 9913717

PRIORITY APPLN. INFO: US 1997-936189 19970917; US 1997-932227 19970917; US 1997-932595 19970917; US 1997-936188 19970917

AN 1999-254289 [21] WPIDS

AB WO 9913717 A UPAB: 19990603

NOVELTY - Delivering a nitric oxide releasing substance (I) comprising L-arginine, L-arginine salts or L-arginine derivatives to an area of skin comprises topical application of a vehicle (II) containing (I) which creates an environment which causes (I) to migrate to the skin.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also include for the following:

(1) treating **impotence** by topical application of (II) containing (I);

(2) promoting hair growth by topical or oral application of (II) containing (I);

(3) increasing local blood flow by oral administration of (II) containing (I) and sodium chloride and optional topical administration of (II) containing (I) and ionic salt;

(4) warming cool or cold tissue by oral administration of (II) containing (I) and sodium chloride or topical application of (II) containing (I) and an ionic salt;

(5) healing superficial ulcers by oral administration of (II) containing (I) and sodium chloride and

(6) treating pain which comprises delivering (II) containing a kyotorphin releasing substance (III) comprising L-arginine, L-arginine salts or L-arginine derivatives and an ionic salt so that (III) is absorbed in conjunction with delivery of a P depleting agent comprising capsaicin or oleoresin to the skin and

(7) a composition for increasing blood which comprises (I) and a substance delivery carrier comprising a concentration of ionic salt to create an ionic environment which causes (I) to migrate from the carrier to skin.

ACTIVITY - Anti-**impotence**; analgesic; hair-growth promotion; blood flow promotion.

MECHANISM OF ACTION - Nitric oxide and kyotorphin releasing.

USE - The method is useful for treating **impotence** and pain, promoting hair growth, increasing local blood flow, warming cool or cold tissue and healing superficial ulcers.

Dwg.0/0

L23 ANSWER 14 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD

ACCESSION NUMBER: 2000-095737 [08] WPIDS

CROSS REFERENCE: 1995-082011 [11]; 1999-094823 [08]

Searcher : Shears 308-4994

DOC. NO. CPI: C2000-027818
TITLE: Preparation of liposomes having a central core compartment containing an oil-in-water emulsion for the delivery of e.g. interferon-alpha and prostaglandin E1.
DERWENT CLASS: A96 B04
INVENTOR(S): FOLDVARI, M
PATENT ASSIGNEE(S): (PHAR-N) PHARMADERM LAB LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 5993851	A	19991130	(200008)*		23

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE
US 5993851	A	CIP of	US 1993-98102	19930728
		Cont of	US 1995-507923	19950727
		Div ex	US 1997-872068	19970610
			US 1998-42097	19980313

PRIORITY APPLN. INFO: US 1995-507923 19950727; US 1993-98102 19930728; US 1997-872068 19970610; US 1998-42097 19980313
AN 2000-095737 [08] WPIDS
CR 1995-082011 [11]; 1999-094823 [08]
AB US 5993851 A UPAB: 20001114
NOVELTY - Preparation of liposomes having a central core compartment containing an oil-in-water emulsion comprises preparing the oil-in-water emulsion and mixing it with vesicle-forming lipids.

DETAILED DESCRIPTION - Preparation of liposomes having a central core compartment containing an oil-in-water emulsion comprises:

(a) preparing an oil-in-water emulsion stabilized by a surfactant; and

(b) mixing the oil-in-water emulsion with vesicle-forming lipids to form liposomes having a lipid-bilayer membrane composed of the vesicle-forming lipids and containing the oil-in-water emulsion in the central core compartment.

USE - The process is used to produce liposomes, used in the delivery of therapeutic agents, e.g. interferon- alpha or prostaglandin E1, especially for the treatment of **erectile dysfunction** and genital warts.

ADVANTAGE - The liposomes formed by the process have greater encapsulation efficiency, uniformity of encapsulation and

consistency than prior art, allowing them to be used in dermal applications with lesser amounts of viscosity increasing agents, or without viscosity increasing agents.
Dwg.0/3

L23 ANSWER 15 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1999-325924 [27] WPIDS
CROSS REFERENCE: 1997-201990 [18]
DOC. NO. NON-CPI: N1999-244427
DOC. NO. CPI: C1999-096303
TITLE: Drug reservoir for use in transdermal drug delivery systems comprises drug formulation absorbed on polyurethane hydrogel layer.
DERWENT CLASS: A25 A32 A35 A60 A94 A96 B01 B07 P32 P34
INVENTOR(S): CHEN, T; CHIANG, C; JONA, J; JOSHI, P; RAMDAS, A
PATENT ASSIGNEE(S): (CYGN-N) CYGNUS INC
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 5902603	A	19990511	(199927)*		15

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE
US 5902603	A	CIP of	US 1995-528105	19950914
		CIP of	US 1995-581128	19951229
			US 1996-713711	19960913

PRIORITY APPLN. INFO: US 1996-713711 19960913; US 1995-528105 19950914; US 1995-581128 19951229
AN 1999-325924 [27] WPIDS
CR 1997-201990 [18]
AB US 5902603 A UPAB: 19990714
NOVELTY - Drug reservoir for use in a transdermal drug delivery system comprising a drug formulation including at least one permeation **enhancer** absorbed on a layer of a polyurethane hydrogel is new.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are provided for:
(1) a transdermal drug delivery system comprising a laminated composite of:
(a) a high capacity drug reservoir of a polyurethane hydrogel containing a drug formulation including at least one permeation **enhancer**; and
(b) a backing layer that is impermeable to the drug and which defines the upper surface of the system during delivery; and

(2) preparation of a transdermal drug delivery system having a high capacity, polyurethane hydrogel drug reservoir comprising:

(a) reacting a polyurethane with a crosslinking agent in the presence of water to form a hydrogel;

(b) absorbing a drug formulation comprising at least one permeation **enhancer** in to the hydrogel; and

(c) laminating a backing layer to the hydrogel that is impermeable to the drug and serves as the upper surface of the system during drug delivery.

USE - For transdermal drug delivery, particularly of steroids, including androgenic agents, for treatment of e.g. hypogonadism, hypopituitarism, Addison's disease, **impotence**, male infertility disorders, anemia and male hormone replacement therapy. The androgenic agents may be administered in combination with estrogenic agents for treatment of e.g. menopause and osteoporosis. The drug delivery systems may also be used for the administration of olanzapine for the treatment of psychosis, acute mania or mild anxiety state, particularly schizophrenia and schizophreniform illnesses. Drugs of many broad classes can be delivered using the systems including e.g. antiinfectives, analgesics, anorexics, antiarthritics, antiasthmatics, anticonvulsants, antidepressants, antidiabetics, antiinflammatories, antinauseants, antipsychotics, cardiovascular preparations, diuretics, cough and cold preparations and sedatives.

ADVANTAGE - The drug reservoir enables a greater quantity of drug to be loaded into the system compared with prior art devices. Greater quantities of drugs can be delivered at higher fluxes with a reduced patch size.

DESCRIPTION OF DRAWING(S) - The figure shows a laminated composite containing a hydrogel reservoir.

Composite 10
Backing layer 11
Hydrogel reservoir layer 12
Drug 12a
Rate-controlling membrane 13
Contact adhesive layer 14
Release liner 15
Dwg.1/5

L23 ANSWER 16 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1997-558090 [51] WPIDS
CROSS REFERENCE: 1991-339516 [46]; 1993-295057 [37]; 1996-039400 [04]; 1998-386936 [33]; 2000-523776 [42]; 2000-601329 [51]
DOC. NO. NON-CPI: N1997-465235
DOC. NO. CPI: C1997-178053
TITLE: Dosage form for treating **impotence**, priapism and Peyronie's syndrome - comprises vasoactive prostaglandin and a dispersant.

DERWENT CLASS: A96 B05 P32
INVENTOR(S): BERGGREN, R G; GALE, R M; PLACE, V A
PATENT ASSIGNEE(S): (VIVU-N) VIVUS INC
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 5686093	A	19971111	(199751)*		13

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE
US 5686093	A	CIP of	US 1990-514397	19900425
		Div ex	US 1991-787306	19911030
		Div ex	US 1993-93545	19930719
			US 1995-486727	19950607

FILING DETAILS:

PATENT NO	KIND		PATENT NO
US 5686093	A	Div ex	US 5242391
		Div ex	US 5474535

PRIORITY APPLN. INFO: US 1991-787306 19911030; US 1990-514397 19900425; US 1993-93545 19930719; US 1995-486727 19950607

AN 1997-558090 [51] WPIDS
CR 1991-339516 [46]; 1993-295057 [37]; 1996-039400 [04]; 1998-386936 [33]; 2000-523776 [42]; 2000-601329 [51]
AB US 5686093 A UPAB: 20001114
Dosage form for treating **erectile dysfunction** comprises a shaft sized to be received within the male urethra and a composition retained within the shaft comprising a vasoactive prostaglandin and at least one dispersant.

The composition comprises a urethral permeation **enhancer** for the agent and one or more vasodilators in addition to the vasoactive prostaglandin, preferably natural or synthetic prostaglandins, prostaglandin E1, alprostadil, misoprostol, enprostil, prostaglandin E2 or their analogues. The dispersant is a material which dissolves, melts or bioerodes within the urethra to release the agent. The dispersant is polyethylene glycol, propylene glycol, glycerine, polyvinyl pyrrolidine, polyvinyl **alcohol**, hydroxy alkyl cellulose and/or cyclodextrin. The vasodilator is a nitrate, long or short acting alpha -blocker, calcium blocker, ergot alkaloid, chlorpromazine, haloperidol, yohimbine, vasoactive intestinal peptide, dopamine

agonist and/or opioid antagonist.

USE - The composition is used to treat **erectile dysfunction** particularly **impotence**, priapism and Peyronie's syndrome.

ADVANTAGE - When the therapeutic agent is applied as a coating on a penile insert it is configured to prevent complete insertion and to facilitate removal. The dosage form can be introduced easily into the urethra from a flexible tube, squeeze bottle, pump or aerosol spray single or multiple dose administrator.

Dwg.1/8

L23 ANSWER 17 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1996-425205 [42] WPIDS
DOC. NO. CPI: C1996-133951
TITLE: New stable, uniform, water-based topical cream - useful in treatment of male **erectile dysfunction**, female anorgasmia, microvascular diseases and injured tissue.
DERWENT CLASS: A96 B05
INVENTOR(S): ALLEN, M; ALLEN, M P
PATENT ASSIGNEE(S): (ITME-N) INT MEDICAL INNOVATIONS INC
COUNTRY COUNT: 71
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9627372	A1	19960912	(199642)*	EN	21
RW: AT BE CH DE DK EA ES FI FR GB GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG					
W: AL AM AT AU AZ BB BG BR BY CA CH CN CZ DE DK EE ES FI GB GE HU IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN					
AU 9653025	A	19960923	(199702)		
NO 9704075	A	19971027	(199802)		
US 5698589	A	19971216	(199805)		6
EP 814800	A1	19980107	(199806)	EN	
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					
CZ 9702818	A3	19971217	(199807)		
BR 9607974	A	19980113	(199809)		
SK 9701175	A3	19980114	(199812)		
HU 9801234	A2	19990128	(199912)		
AU 701328	B	19990128	(199916)		
JP 11501629	W	19990209	(199916)		21
KR 98702766	A	19980805	(199932)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE

WO 9627372	A1		WO 1996-US2989	19960305
AU 9653025	A		AU 1996-53025	19960305
NO 9704075	A		WO 1996-US2989	19960305
			NO 1997-4075	19970904
US 5698589	A	CIP of	US 1993-69409	19930601
		CIP of	US 1995-398872	19950306
			US 1996-594304	19960130
EP 814800	A1		EP 1996-909577	19960305
			WO 1996-US2989	19960305
CZ 9702818	A3		WO 1996-US2989	19960305
			CZ 1997-2818	19960305
BR 9607974	A		BR 1996-7974	19960305
			WO 1996-US2989	19960305
SK 9701175	A3		WO 1996-US2989	19960305
			SK 1997-1175	19960305
HU 9801234	A2		WO 1996-US2989	19960305
			HU 1998-1234	19960305
AU 701328	B		AU 1996-53025	19960305
JP 11501629	W		JP 1996-527007	19960305
			WO 1996-US2989	19960305
KR 98702766	A		WO 1996-US2989	19960305
			KR 1997-706173	19970904

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9653025	A	Based on	WO 9627372
EP 814800	A1	Based on	WO 9627372
CZ 9702818	A3	Based on	WO 9627372
BR 9607974	A	Based on	WO 9627372
HU 9801234	A2	Based on	WO 9627372
AU 701328	B	Previous Publ.	AU 9653025
		Based on	WO 9627372
JP 11501629	W	Based on	WO 9627372
KR 98702766	A	Based on	WO 9627372

PRIORITY APPLN. INFO: US 1996-594304 19960130; US 1995-398872 19950306; US 1993-69409 19930601

AN 1996-425205 [42] WPIDS

AB WO 9627372 A UPAB: 19961021

A new stable, uniform, water-based topical cream comprises:(a) nitroglycerin (0.1-3% by wt.); (b) a penetration **enhancer** (5-24% by wt.); (c) water (60-90% by wt.); (d) a thickener (0.5-3% by wt.); and (e) an emulsifier (0.4-2% by wt.).

Also claimed is a method of prepn. of the topical cream comprising: admixing the thickener and about 80-90% of the water and heating to give a first soln.: admixing the emulsifier, about 15-85% of the penetration **enhancer** and the remainder of the

water, with heating, to provide a second soln.; admixing the first soln. and the second soln. to provide a cream base; and admixing the nitroglycerin, the remainder of the penetration **enhancer** and the cream base to obtain the topical cream.

Pref. the penetration **enhancer** is selected from propylene glycol, glycerine, isopropyl palmitate, **isopropyl myristate**, laurocapram and their mixts. The thickener is selected from methyl cellulose, polyethylene glycol, acrylic acid polymers and their mixts. The emulsifier is a nonionic surface active agent and is selected from polyethylene glycol **alcohol** ether, polyoxyethylene acid ester and partial ester of sorbitol or anhydride thereof and their mixts.

USE - The cream is used for treating males suffering from **erectile dysfunction** and females suffering from anorgasmia by topical application of an effective amt. to the genital area in males and the vaginal area in females.

The cream is also used for treating microvascular disease, partic. peripheral neuropathy, by topical application of an effective amt. to the afflicted areas of the patient and for treating wounds or surgical incisions by topical application of an effective amt. to the wound or incision.

For treatment of male **erectile dysfunction** about 0.2 to 3 g of cream is applied; for treatment of female anorgasmia about 0.1 to 1.10 g of cream is applied; for treatment of microvascular disease about 1 to 3 g of cream is applied; and for treatment of wounds and surgical incisions about 0.2 to 1 g of cream is applied.

ADVANTAGE - The creams provide fast penetration of vasoactive agents into the penis and clitoris with less discomfort and transference among sexual partners compared with previously used compsns.

Dwg.0/0

ABEQ US 5698589 A UPAB: 19980202

A new stable, uniform, water-based topical cream comprises:(a) nitroglycerin (0.1-3% by wt.); (b) a penetration **enhancer** (5-24% by wt.); (c) water (60-90% by wt.); (d) a thickener (0.5-3% by wt.); and (e) an emulsifier (0.4-2% by wt.).

Also claimed is a method of prepn. of the topical cream comprising: admixing the thickener and about 80-90% of the water and heating to give a first soln.: admixing the emulsifier, about 15-85% of the penetration **enhancer** and the remainder of the water, with heating, to provide a second soln.; admixing the first soln. and the second soln. to provide a cream base; and admixing the nitroglycerin, the remainder of the penetration **enhancer** and the cream base to obtain the topical cream.

Pref. the penetration **enhancer** is selected from propylene glycol, glycerine, isopropyl palmitate, **isopropyl myristate**, laurocapram and their mixts. The thickener is selected from methyl cellulose, polyethylene glycol, acrylic acid

polymers and their mixts. The emulsifier is a nonionic surface active agent and is selected from polyethylene glycol **alcohol** ether, polyoxyethylene acid ester and partial ester of sorbitol or anhydride thereof and their mixts.

USE - The cream is used for treating males suffering from **erectile dysfunction** and females suffering from anorgasmia by topical application of an effective amt. to the genital area in males and the vaginal area in females.

The cream is also used for treating microvascular disease, partic. peripheral neuropathy, by topical application of an effective amt. to the afflicted areas of the patient and for treating wounds or surgical incisions by topical application of an effective amt. to the wound or incision.

For treatment of male **erectile dysfunction** about 0.2 to 3 g of cream is applied; for treatment of female anorgasmia about 0.1 to 1.10 g of cream is applied; for treatment of microvascular disease about 1 to 3 g of cream is applied; and for treatment of wounds and surgical incisions about 0.2 to 1 g of cream is applied.

ADVANTAGE - The creams provide fast penetration of vasoactive agents into the penis and clitoris with less discomfort and transference among sexual partners compared with previously used compsns.

Dwg.0/0

(FILE 'MEDLINE' ENTERED AT 15:02:48 ON 29 MAR 2001)

```
L12       42388 SEA FILE=MEDLINE ABB=ON  PLU=ON  ETHANOL/CT
L13         122 SEA FILE=MEDLINE ABB=ON  PLU=ON  2-PROPANOL/CT
L14          82 SEA FILE=MEDLINE ABB=ON  PLU=ON  PROPANOLS/CT
L17        6684 SEA FILE=MEDLINE ABB=ON  PLU=ON  IMPOTENCE/CT
L24        3045 SEA FILE=MEDLINE ABB=ON  PLU=ON  L17 AND (DRUG THERAPY
                OR THERAPEUTIC USE OR THERAPY)/CT
L25           8 SEA FILE=MEDLINE ABB=ON  PLU=ON  (L12 OR L13 OR L14) AND
                L24

=> s l25 not l18

L26           8 L25 NOT L18

=> d 1-8 .beverlymed

L26  ANSWER 1 OF 8  MEDLINE
AN   2000445695     MEDLINE
TI   Embolotherapy for venous impotence: use of ethanol.
AU   Nakata M; Takashima S; Kaminou T; Koda Y; Morimoto A; Hamuro M;
     Matsuoka T; Yasumoto R; Nakamura K; Yamada R
SO   JOURNAL OF VASCULAR AND INTERVENTIONAL RADIOLOGY, (2000 Sep) 11 (8)
     1053-7.
     Journal code: BER. ISSN: 1051-0443.
```

AB PURPOSE: To evaluate the usefulness of embolotherapy with ethanol for the treatment of venous impotence. MATERIALS AND METHODS: Twenty-three patients with venous impotence underwent embolotherapy. The diagnosis of venous impotence was made by means of pharmacocavernosometry and cavernosography. After exposure of the deep dorsal penile vein, a intravenous catheter was inserted directly into the deep dorsal penile vein and advanced into just front of the preprostatic plexus. Fifty percent ethanol was injected through the catheter and the endpoint of the procedure was determined based on results of venography immediately after injection. The procedure was finished when lack of venous leakage was confirmed. RESULTS: In all patients, the deep dorsal penile vein was successfully exposed surgically, the sclerosing agent successfully injected, and the endpoint successfully achieved. Immediate clinical therapeutic effect (restoration of erection) was obtained in 20 cases (87%). No severe complications were observed during or after the procedure. The follow-up period was 6-50 months. Long-term therapeutic effect was confirmed for 18 of 23 patients (78%). CONCLUSION: The authors' findings suggest that this treatment had satisfactory short-term and long-term clinical results and that longer follow-up is necessary to confirm its safety.

L26 ANSWER 2 OF 8 MEDLINE
AN 1999260663 MEDLINE
TI The impact of first-line antihypertensive drugs on erectile dysfunction.
AU Barksdale J D; Gardner S F
SO PHARMACOTHERAPY, (1999 May) 19 (5) 573-81. Ref: 52
Journal code: PAR. ISSN: 0277-0008.
AB Erectile dysfunction, a problem estimated to affect up to 30 million American men, is associated with a number of systemic illnesses and drugs. Age is not thought to be an independent risk factor for the disorder, but accompanying illnesses and their treatments may contribute to its onset. Newer classes of antihypertensive agents are less frequently associated with sexual dysfunction than diuretics or beta-blockers. However, nearly every first-line antihypertensive drug has been reported to cause some degree of erectile dysfunction. Management options include lifestyle modification, dosage reduction, discontinuation of the offending agent, switching to an alternative drug, and pharmacologic therapy.

L26 ANSWER 3 OF 8 MEDLINE
AN 95295105 MEDLINE
TI Incidence of penile pain after injection of a new formulation of prostaglandin E1 [see comments].
AU Chen J; Godschalk M F; Katz P G; Mulligan T
SO JOURNAL OF UROLOGY, (1995 Jul) 154 (1) 77-9.
Journal code: KC7. ISSN: 0022-5347.
AB PURPOSE: We determined the incidence of pain with injection of a new

formulation of prostaglandin E1. MATERIALS AND METHODS: A total of 63 subjects with erectile dysfunction underwent treatment with the new formulation of prostaglandin E1. Evidence of pain associated with injection was obtained by questionnaire and through questioning. RESULTS: A total of 451 injections was given to 63 subjects in the office, with 16 episodes (3.5%) of pain in 10 (15.9%). Then, 680 injections were performed by 38 subjects at home, with 15 episodes (2.2%) of pain in 8 (21%). Pain was not dose related. CONCLUSIONS: The new formulation of prostaglandin E1 is less likely to be associated with pain compared with alcohol based formulations.

L26 ANSWER 4 OF 8 MEDLINE
AN 94345190 MEDLINE
TI Embolotherapy: agents, clinical applications, and techniques.
AU Coldwell D M; Stokes K R; Yakes W F
SO RADIOGRAPHICS, (1994 May) 14 (3) 623-43; quiz 645-6. Ref: 75
Journal code: RDG. ISSN: 0271-5333.
AB For embolization to be successful, three factors must be addressed: embolic agent selection, clinical application, and technical skill. The major embolic agents used include stainless steel coils, absorbable gelatin pledgets and powder, polyvinyl alcohol foam, ethanol, and glues. Each of these agents acts at different levels in the arterial system; for example, coils are equivalent to surgical ligation and occlude medium to small arteries, whereas liquid agents and the smaller diameter particles occlude at the arteriolar level or the capillary bed. The type of agent selected should also be determined according to clinical application, which includes trauma, tumors, male infertility, impotence, and vascular malformations. It may be better to occlude an artery only temporarily, particularly in trauma patients, and absorbable gelatin material is preferred for this application. Conversely, permanent occlusion of arteries with either ethanol or polyvinyl alcohol foam particles may be necessary in the treatment of tumors. To use embolotherapy effectively, the interventional radiologist must be experienced, familiar with the underlying pathologic processes, and knowledgeable with regard to the role of other specialties in the treatment of the disease process presented.

L26 ANSWER 5 OF 8 MEDLINE
AN 83128823 MEDLINE
TI Erectile impotence: a clinical challenge.
AU McKendry J B; Collins W E; Silverman M; Krul L E; Collins J P; Irvine A H
SO CANADIAN MEDICAL ASSOCIATION JOURNAL, (1983 Mar 15) 128 (6) 653-63. Ref: 129
Journal code: CKW. ISSN: 0008-4409.

L26 ANSWER 6 OF 8 MEDLINE

AN 81080611 MEDLINE
TI Sexual impotency: current knowledge and treatment I. Urology/sexuality clinic.
AU Finkle A L
SO UROLOGY, (1980 Nov) 16 (5) 449-52. Ref: 26
Journal code: WSY. ISSN: 0090-4295.
AB Investigative and therapeutic measures for evaluating sexual impotency are rather recent. Psychogenic and organic problems may overlap. Thorough clinical appraisal and objective tests are currently affording better differentiation of etiology and, consequently, appropriate treatment. Causes of and tests for sexual impotency guide the choice of treatment. Surgical intervention can be offered for irreversible organic impotency. However, most instances of acquired impotence are psychogenic. Any nonjudgmental, competent practitioner can aid victims of psychogenic impotence by a "listening and encouragement" method. Urologists, in particular, are commonly confronted with genital/sexual problems and may be best suited as primary therapists by developing interest in urologic counseling. A newly formed Urology/Sexuality Clinic at the University of California in San Francisco provides therapy for patients and offers training for resident physicians.

L26 ANSWER 7 OF 8 MEDLINE
AN 76099804 MEDLINE
TI Drugs and sexual behavior in man.
AU Hollister L E
SO LIFE SCIENCES, (1975 Sep 1) 17 (5) 661-7. Ref: 28
Journal code: L62. ISSN: 0024-3205.

L26 ANSWER 8 OF 8 MEDLINE
AN 75138750 MEDLINE
TI Intrathecal alcohol block--experiences on 41 cases.
AU Bruno G
SO PARAPLEGIA, (1975 Feb) 12 (4) 305-6.
Journal code: OQT. ISSN: 0031-1758.

=> fil hom

FILE 'HOME' ENTERED AT 15:04:58 ON 29 MAR 2001